



10052578 .102902

FIG.1A

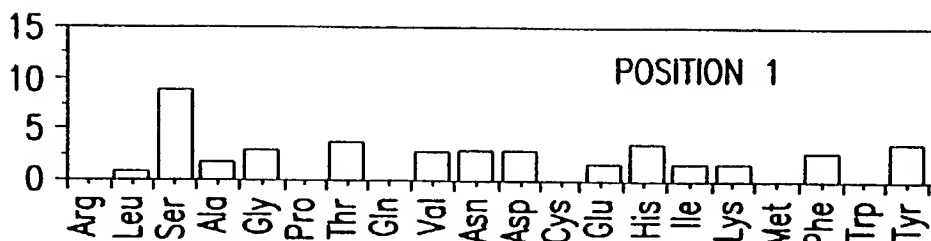


FIG.1B

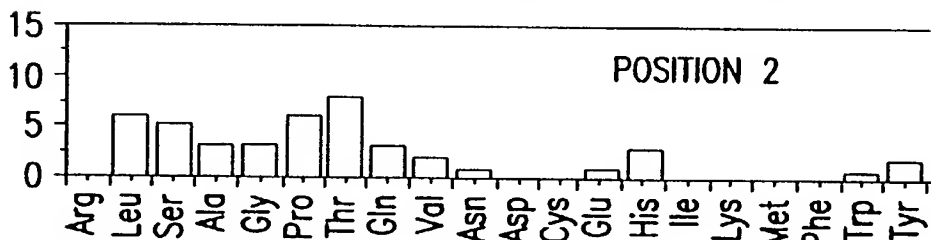


FIG.1C

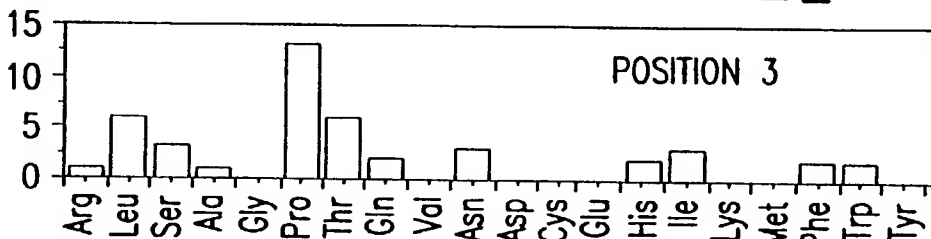


FIG.1D

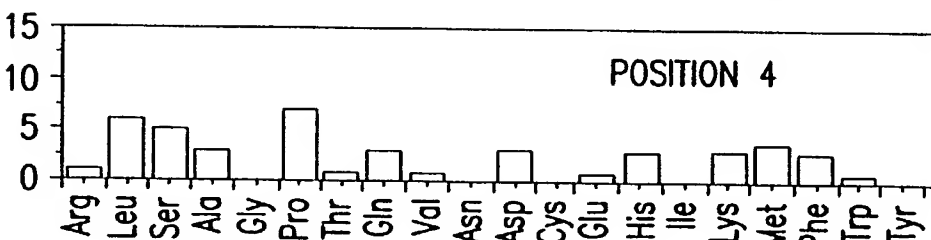


FIG.1E

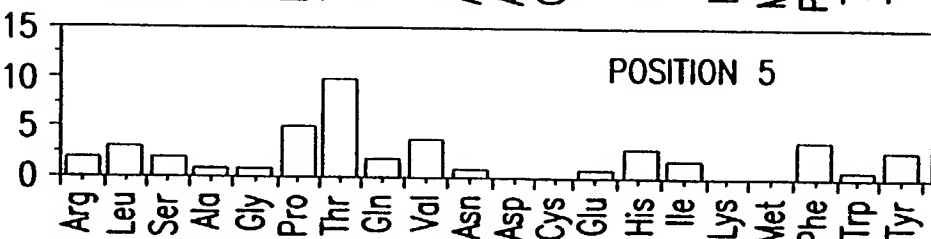
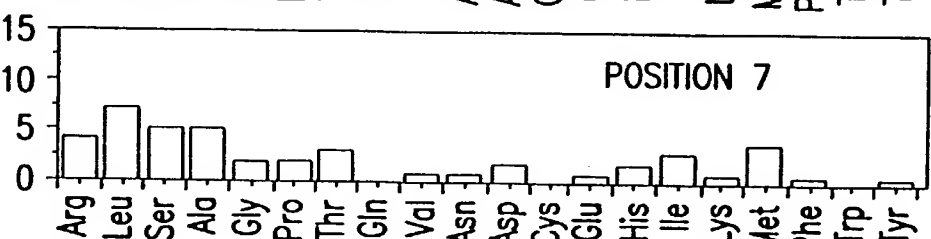


FIG.1F



FIG.1G





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His	Thr	Thr	Val	Tyr	Gly	Ala	Gly
CAT	ACG	ACT	GTT	TAT	GGG	GCT	GGT
Thr	Glu	Thr	Pro	Tyr	Pro	Thr	Gly
ACT	GAG	ACG	CCT	TAT	CCT	ACT	GGT
Leu	Thr	Thr	Pro	Phe	Ser	Ser	Gly
CTT	ACT	ACT	CCG	TTT	TCG	TCG	GGT
Gly	Val	Pro	Leu	Thr	Met	Asp	Gly
GGT	GTG	CCT	CTT	ACG	ATG	GAT	GGT
Lys	Leu	Pro	Thr	Val	Leu	Arg	Gly
AAG	CTT	CCG	ACT	GTT	CTG	CGG	GGT
Cys	Arg	Phe	His	Gly	Asn	Arg	Gly
TGT	CGC	TTT	CAT	GGG	AAT	CGT	GGT
Tyr	Thr	Arg	Asp	Phe	Glu	Ala	Gly
TAT	ACT	CGG	GAT	TTT	GAG	GCT	GGT
Ser	Ser	Ala	Ala	Gly	Pro	Arg	Gly
TCG	TCG	GCG	GCT	GGT	CCG	CGG	GGT
Ser	Leu	Ile	Gln	Tyr	Ser	Arg	Gly
TCT	CTG	ATT	CAG	TAT	TCG	AGG	GGT
Asp	Ala	Leu	Met	Trp	Pro	UKN	Gly
GAT	GCT	CTT	ATG	TGG	CCT	NTG	GGT
Ser	Ser	UKN	Ser	Leu	Tyr	Ile	Gly
TCG	TCT	CNT	TCG	TTG	TAT	ATT	GGT
Phe	Asn	Thr	Ser	Thr	Arg	Thr	Gly
TTT	AAT	ACT	TCG	ACG	CGT	ACG	GGT
Thr	Val	Gln	His	Val	Ala	Phe	Gly
ACT	GTG	CAG	CAT	GTT	GCT	TTT	GGT
Asp	Tyr	Ser	Phe	Pro	Pro	Leu	Gly
GAT	TAT	TCT	TTT	CCG	CCT	CTT	GGT
Val	Gly	Ser	Met	Glu	Ser	Leu	Gly
GTG	GGG	TCT	ATG	GAG	TCG	TTG	GGT
Phe	UKN	Pro	Met	Ile	UKN	Ser	Gly
TTT	CAN	CCG	ATG	ATT	NGN	TCG	GGT
Ala	Pro	Pro	Arg	Val	Thr	Met	Gly
GCG	CCT	CCG	CGG	GTT	ACT	ATG	GGT

FIG.1H



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Ile	Ala	Thr	Lys	Thr	Pro	Lys	Gly
ATT	GCT	ACG	AAG	ACG	CCT	AAG	GGT
Lys	Pro	Pro	Leu	Phe	Gln	Ile	Gly
AAG	CCT	CCG	TTG	TTT	CAG	ATT	GGT
Tyr	His	Thr	Ala	His	Asn	Met	Gly
TAT	CAT	ACT	GCT	CAT	AAT	ATG	GGT
Ser	Tyr	Ile	Gln	Ala	Thr	His	Gly
TCT	TAT	ATT	CAG	GCT	ACG	CAT	GGT
Ser	Ser	Phe	Ala	Thr	Phe	Leu	Gly
TCG	TCT	TTT	GCT	ACT	TTT	CTT	GGT
Thr	Thr	Pro	Pro	Asn	Phe	Ala	Gly
ACG	ACT	CCG	CCG	AAT	TTT	GCG	GGT
Ile	Ser	Leu	Asp	Pro	Arg	Met	Gly
ATT	TCT	CTT	GAT	CCG	CGT	ATG	GGT
Ser	Leu	Pro	Leu	Phe	Gly	Ala	Gly
TCG	CTG	CCG	CTG	TTT	GGT	GCG	GGT
Asn	Leu	Leu	Lys	Thr	Thr	Leu	Gly
AAT	CTT	CTT	AAG	ACT	ACG	CTT	GGT
Asp	Gln	Asn	Leu	Pro	Arg	Arg	Gly
GAT	CAG	AAT	CTG	CCG	CGG	CGG	GGT
Ser	His	Phe	Glu	Gln	Leu	Leu	Gly
AGT	CAT	TTT	GAG	CAG	CTG	CTT	GGT
Thr	Pro	Gln	Leu	His	His	Gly	Gly
ACG	CCG	CAG	CTT	CAT	CAT	GGT	GGT
Ala	Pro	Leu	Asp	Arg	Ile	Thr	Gly
GCG	CCT	CTG	GAT	AGG	ATT	ACG	GGT
Phe	Ala	Pro	Leu	Ile	Ala	His	Gly
TTT	GCG	CCT	CTT	ATT	GCG	CAT	GGT
Ser	Trp	Ile	TER	Thr	Phe	Met	Gly
TCG	TGG	ATT	TAG	ACG	TTT	ATG	GGT
Asn	Thr	Trp	Pro	His	Met	Tyr	Gly
AAT	ACT	TGG	CCT	CAT	ATG	TAT	GGT
Glu	Pro	Leu	Pro	Thr	Thr	Leu	Gly
GAG	CCT	CTT	CCG	ACT	ACG	TTG	GGT
His	Gly	Pro	His	Leu	Phe	Asn	Gly
CAT	GGG	CCT	CAT	CTG	TTT	AAT	GGT

FIG.11



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Tyr Leu Asn Ser Thr Leu Ala Gly
TAT CTG AAT TCT ACG CTT GCT GGT

His Leu His Ser Pro Ser Gly Gly
CAT CTT CAT AGT CCG TCG GGG GGT

FIG.1J



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FIG.2A



FIG.2B

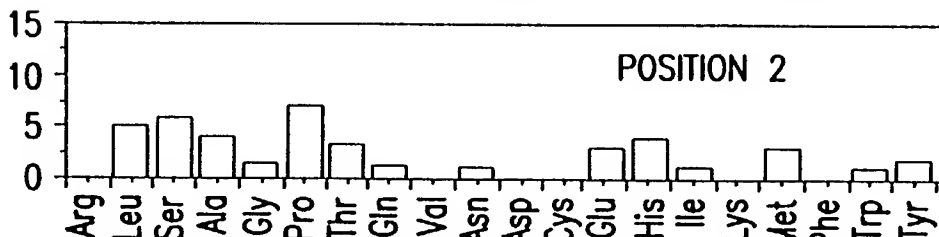


FIG.2C

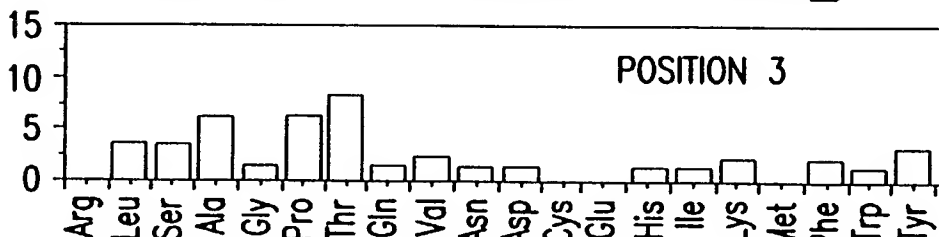


FIG.2D

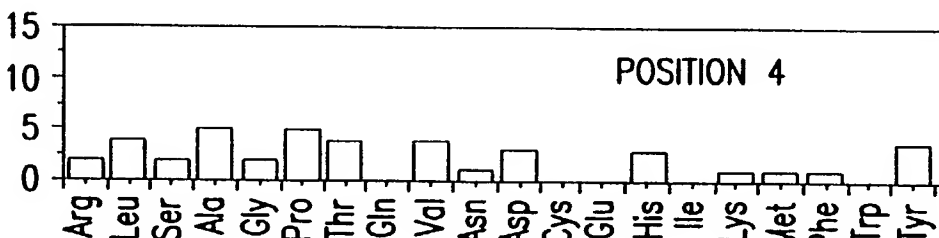


FIG.2E

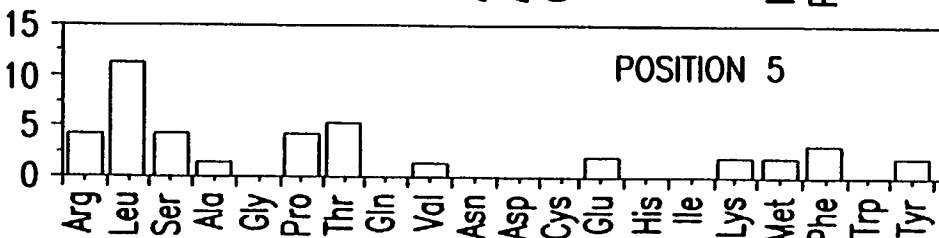


FIG.2F

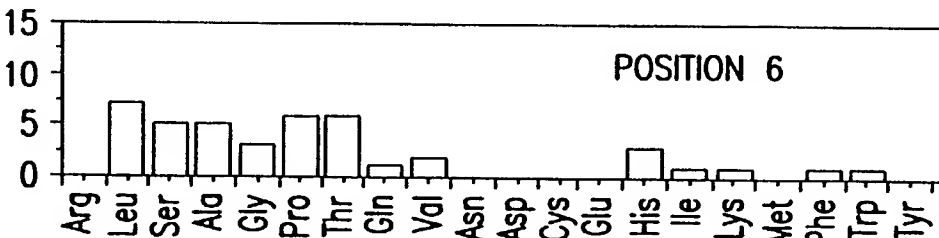
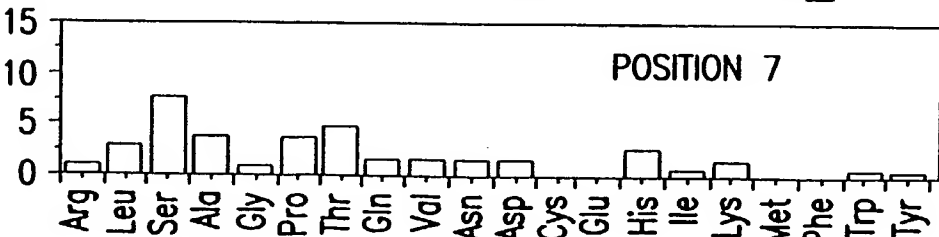


FIG.2G





Thr	Leu	Pro	His	Arg	Leu	Asn	Gly
ACT	CTG	CCT	CAT	CGT	CTG	AAT	GGT
Ser	Ser	Pro	Arg	Glu	Val	His	Gly
TCG	AGT	CCG	AGG	GAG	GTT	CAT	GGT
Asn	Gln	Val	Asp	Thr	Ala	Arg	Gly
AAT	CAG	GTT	GAT	ACG	GCT	CGG	GGT
Tyr	Pro	Thr	Pro	Leu	Leu	Thr	Gly
TAT	CCT	ACG	CCG	CTG	CTG	ACT	GGT
His	Pro	Ala	Ala	Phe	Pro	Trp	Gly
CAT	CCT	GCT	GCT	TTT	CCT	TGG	GGT
Leu	Leu	Pro	His	Ser	Ser	Ala	Gly
CTT	CTT	CCG	CAT	TCT	AGT	GCT	GGT
Leu	Glu	Thr	Tyr	Thr	Ala	Ser	Gly
CTT	GAG	ACT	TAT	ACG	GCT	TCT	GGT
Lys	Tyr	Val	Pro	Leu	Pro	Pro	Gly
AAG	TAT	GTG	CCT	CTG	CCG	CCG	GGT
Ala	Pro	Leu	Ala	Leu	His	Ala	Gly
GCG	CCG	TTG	GCT	CTG	CAT	GCG	GGT
Tyr	Glu	Ser	Leu	Leu	Thr	Lys	Gly
TAT	GAG	TCG	CTG	CTG	ACT	AAG	GGT
Ser	His	Ala	Ala	Ser	Gly	Thr	Gly
TCT	CAT	GCG	GCT	TCT	GGT	ACT	GGT
Gly	Leu	Ala	Thr	Val	Lys	Ser	Gly
GGT	TTG	GCG	ACT	GTT	AAG	TCT	GGT
Gly	Ala	Thr	Ser	Phe	Gly	Leu	Gly
GGT	GCT	ACG	TCT	TTT	GGG	CTT	GGT
Lys	Pro	Pro	Gly	Pro	Val	Ser	Gly
AAG	CCG	CCT	GGG	CCG	GTG	TCG	GGT
Thr	Leu	Tyr	Val	Ser	Gly	Asn	Gly
ACT	CTT	TAT	GTT	TCT	GGG	AAT	GGT
His	Ala	Pro	Phe	Lys	Ser	Gln	Gly
CAT	GCT	CCG	TTT	AAG	TCT	CAG	GGT
Val	Ala	Phe	Thr	Arg	Leu	Pro	Gly
GTG	GCG	TTT	ACG	CGG	CTT	CCG	GGT

FIG.2H



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Leu Pro Thr Arg Thr Pro Ala Gly
CTG CCG ACT CGT ACG CCG GCT GGT

Ala Ser Phe Asp Leu Leu Ile Gly
GCG AGT TTT GAT CTT TTG ATT GGT

Arg Met Asn Thr Glu Pro Pro Gly
CGG ATG AAT ACT GAG CCT CCG GGT

Lys Met Thr pro Leu Thr Thr Gly
AAG ATG ACT CCT CTG ACG ACT GGT

Ala Asn Ala Thr Pro Leu Leu Gly
GCG AAT GCG ACG CCT CTG CTG GGT

Thr Ile Trp Pro Pro Pro Val Gly
ACT ATT TGG CCT CCG CCT GTT GGT

Gln Thr Lys Val Met Thr Thr Gly
CAG ACT AAG GTG ATG ACG ACG GGT

Asn His Ala Val Phe Ala Ser Gly
AAT CAT GCT GTT TTT GCT AGT GGT

Leu His Ala Ala UKN Thr Ser Gly
CTG CAT GCG GCT ANT ACG TCG GGT

Thr Trp Gln Pro Tyr Phe His Gly
ACG TGG CAG CCG TAT TTT CAT GGT

Ala Pro Leu Ala Leu His Ala Gly
GCG CCG TTG GCT CTG CAT GCG GGT

Thr Ala His Asp Leu Thr Val Gly
ACG GCG CAT GAT CTG ACT GTT GGT

Asn Met Thr Asn Met Leu Thr Gly
AAT ATG ACT AAT ATG CTT ACT GGT

Gly Ser Gly Leu Ser Gln Asp Gly
GGT TCT GGG CTG TCT CAG GAT GGT

Thr Pro Ile Lys Thr Ile Tyr Gly
ACG CCG ATT AAG ACG ATT TAT GGT

Ser His Leu Tyr Arg Ser Ser Gly
TCG CAT CTG TAT CGT TCT AGT GGT

FIG.2I



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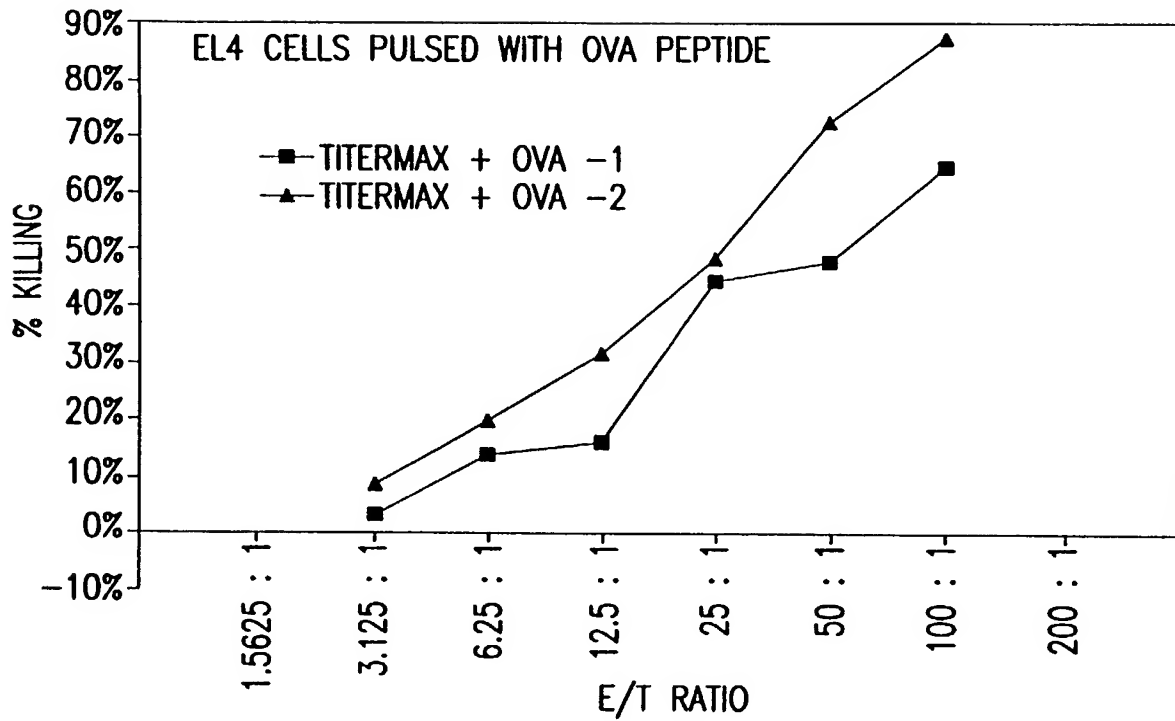


FIG.3A

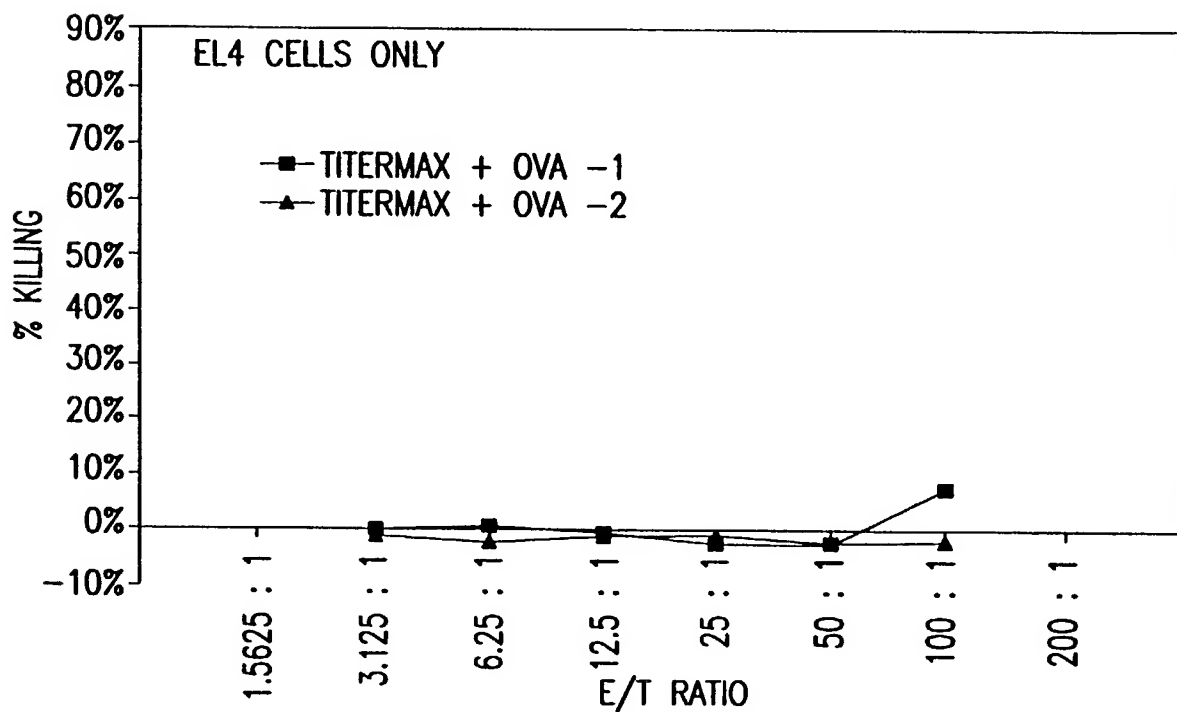


FIG.3B



10052578 . 102902

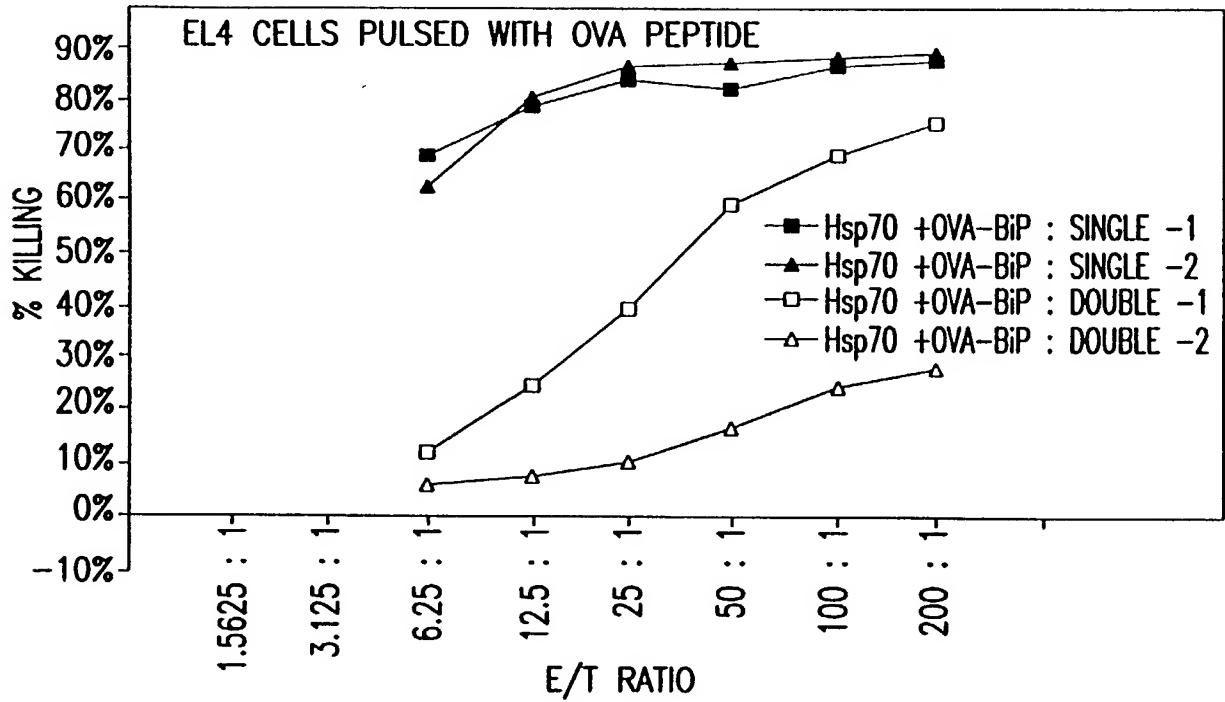


FIG.4A

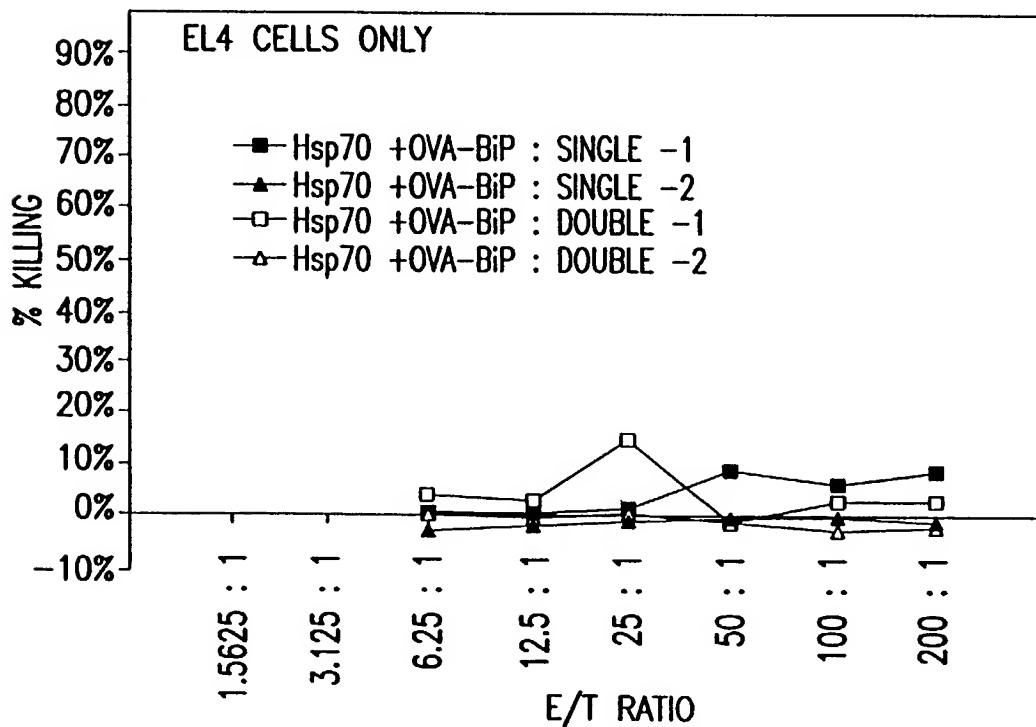


FIG.4B



10052578 . 102802

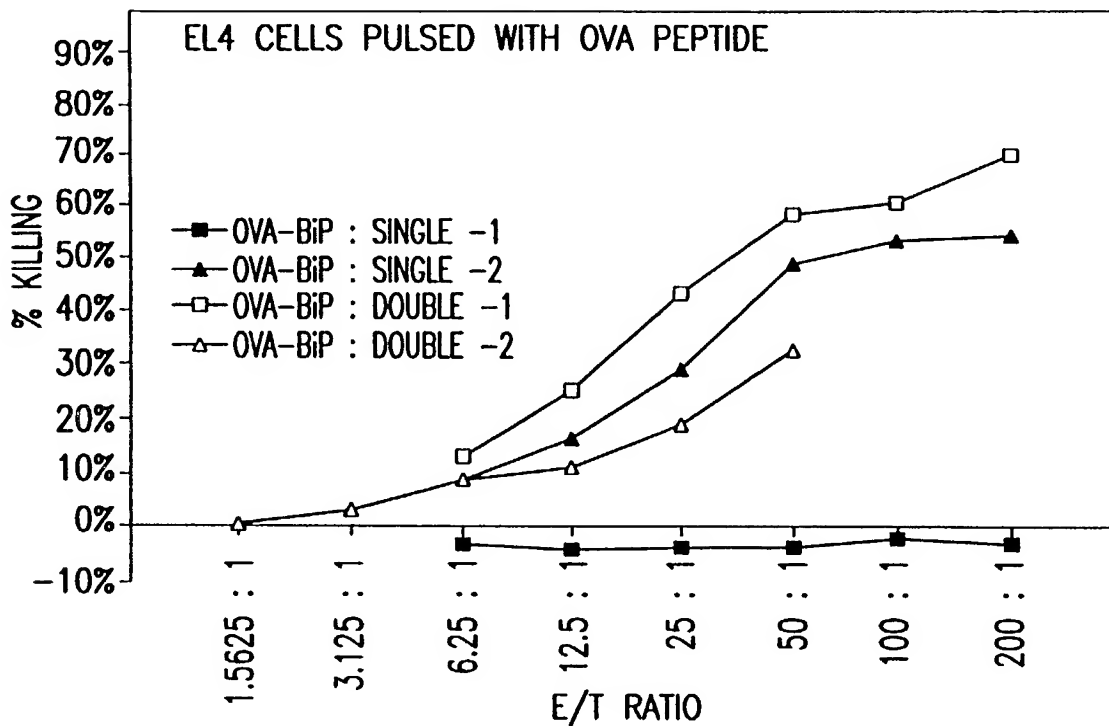


FIG.5A

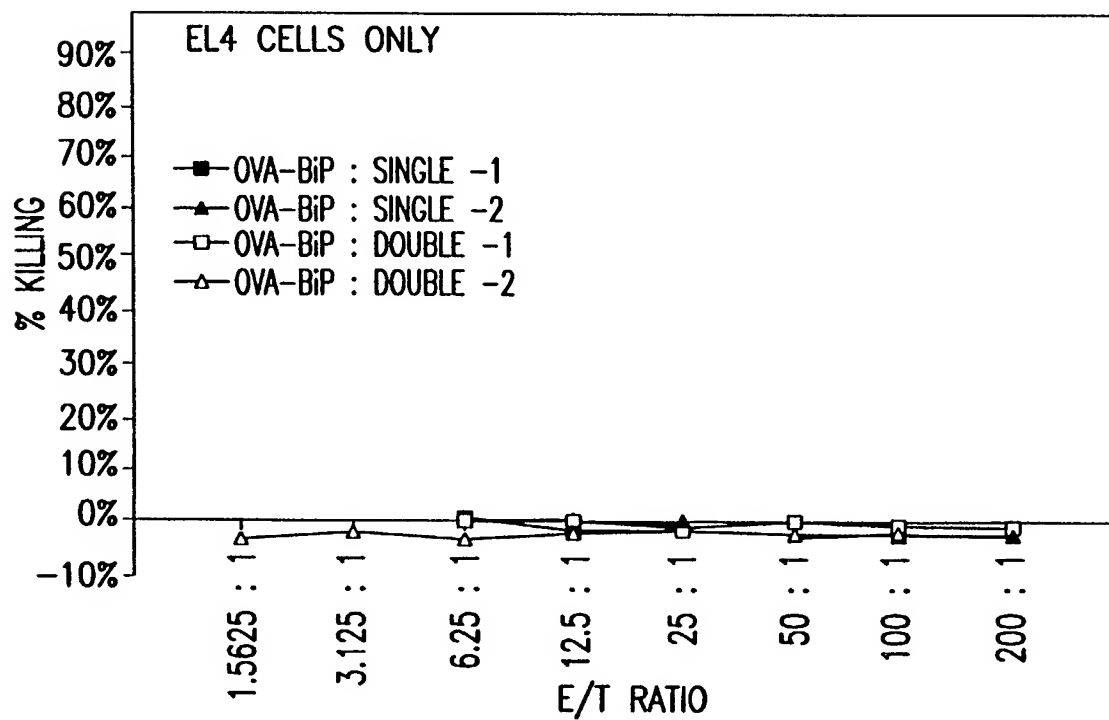


FIG.5B



10052578 .102802

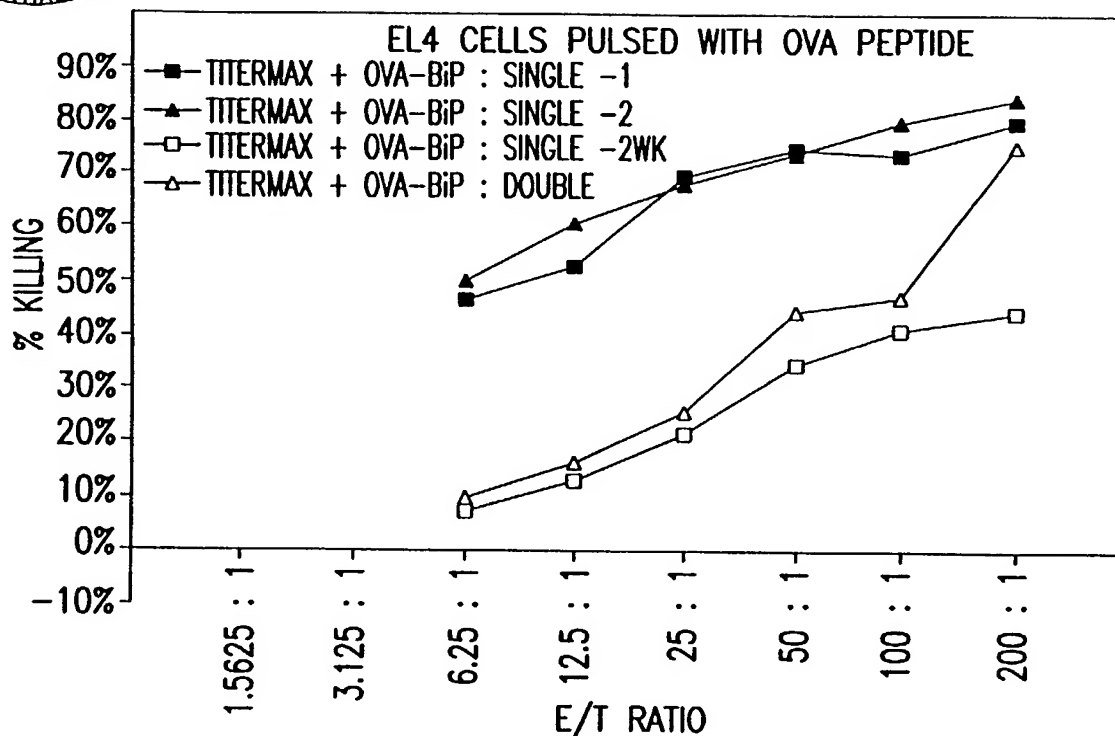


FIG.6A

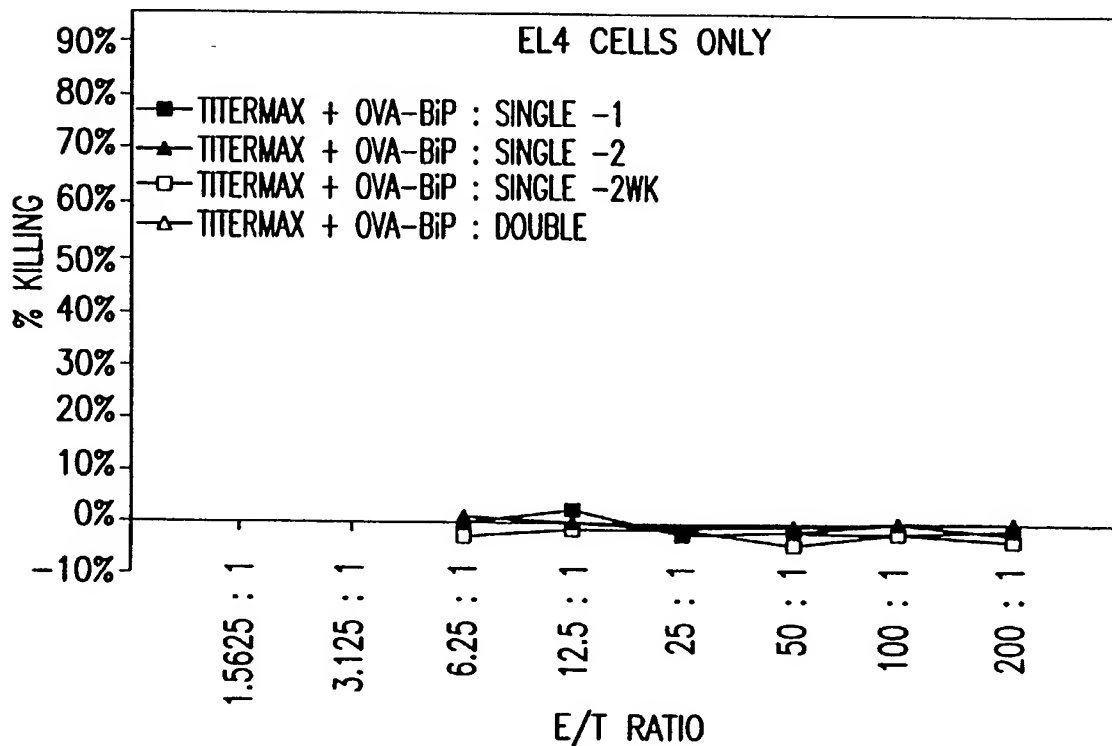


FIG.6B



10052578 .102802

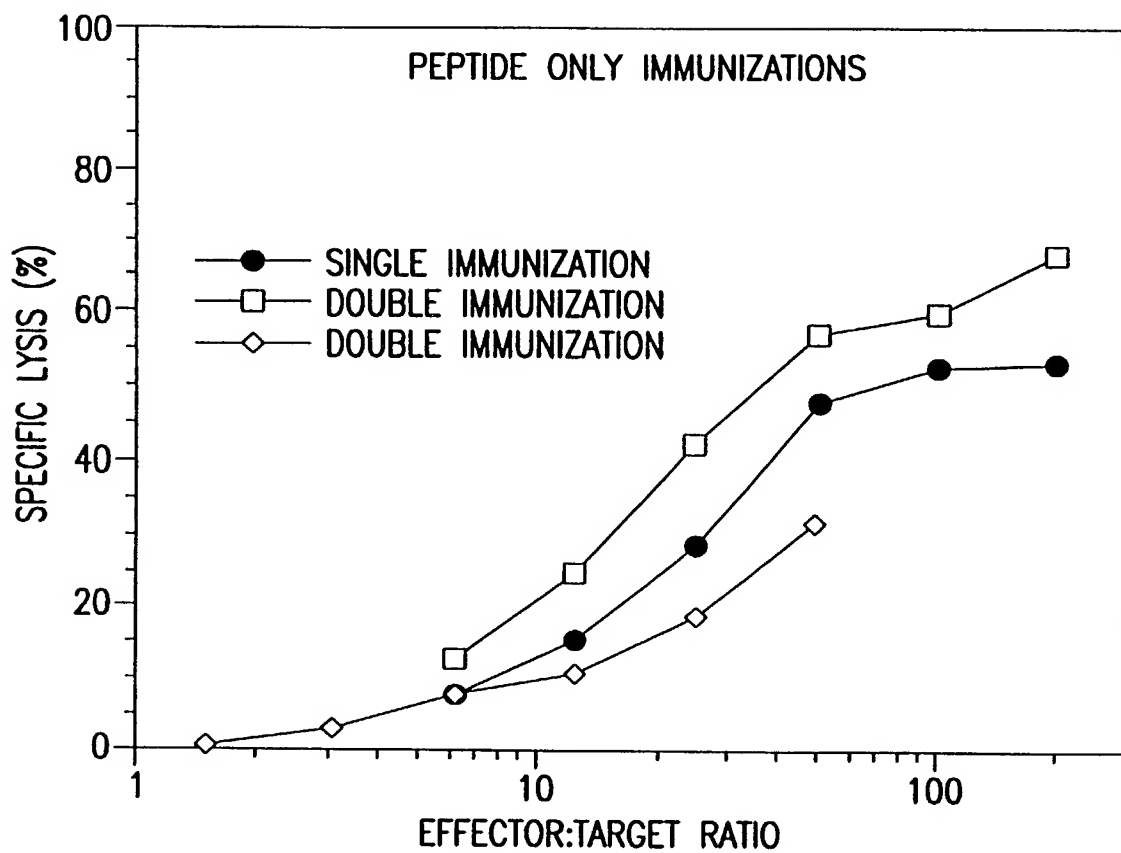


FIG.7



10052578 .102802

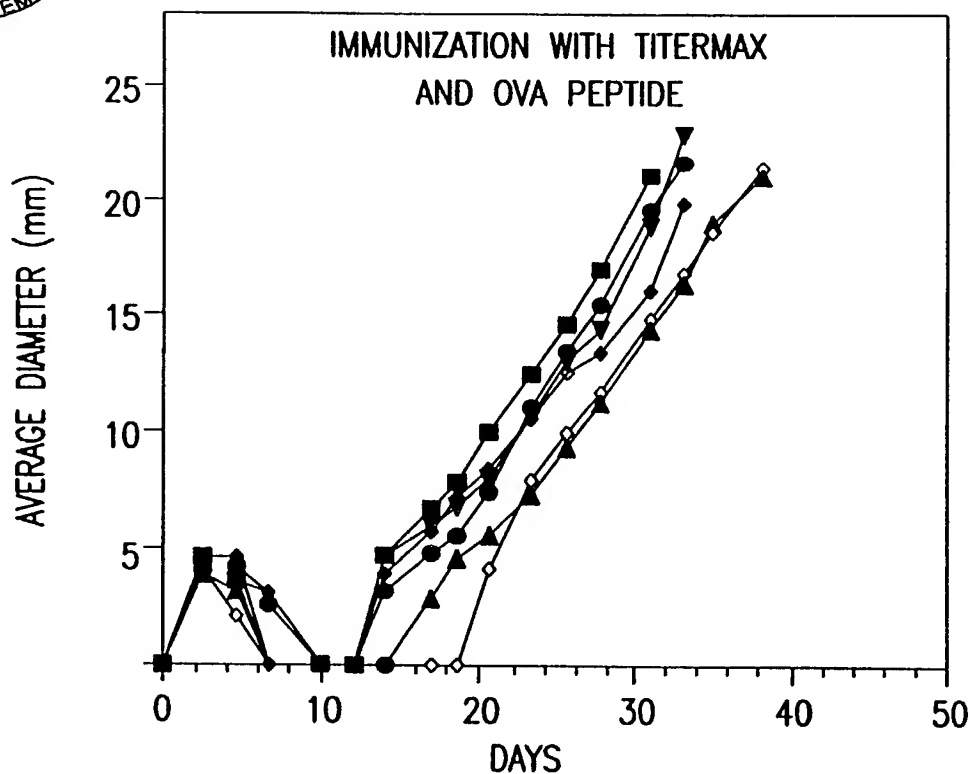


FIG.8A

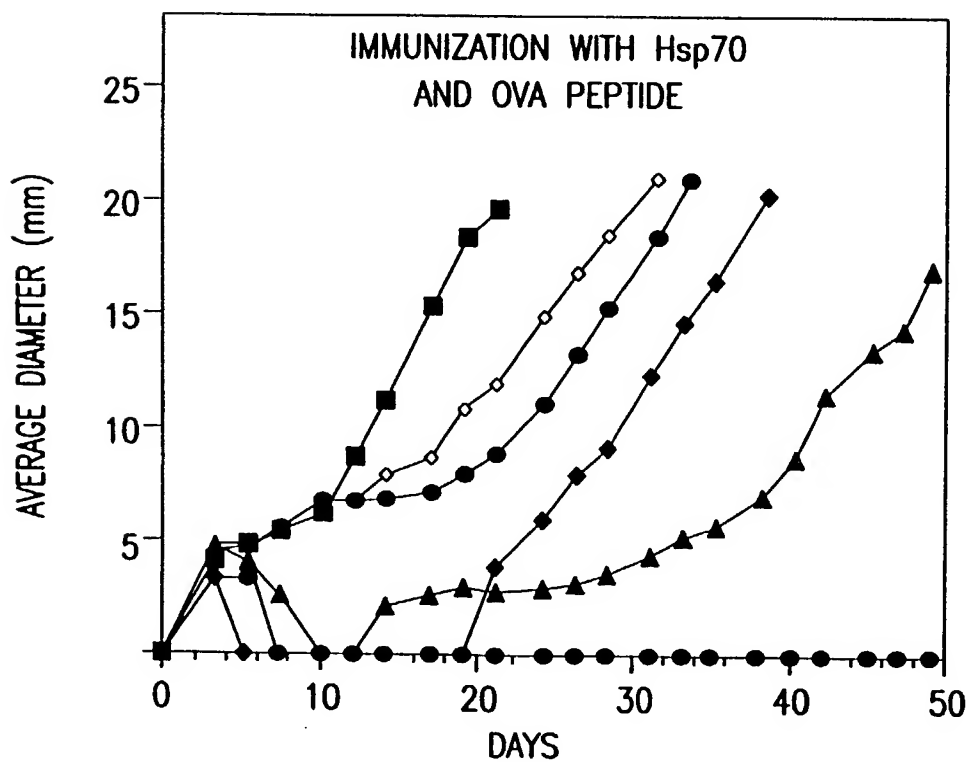


FIG.8B

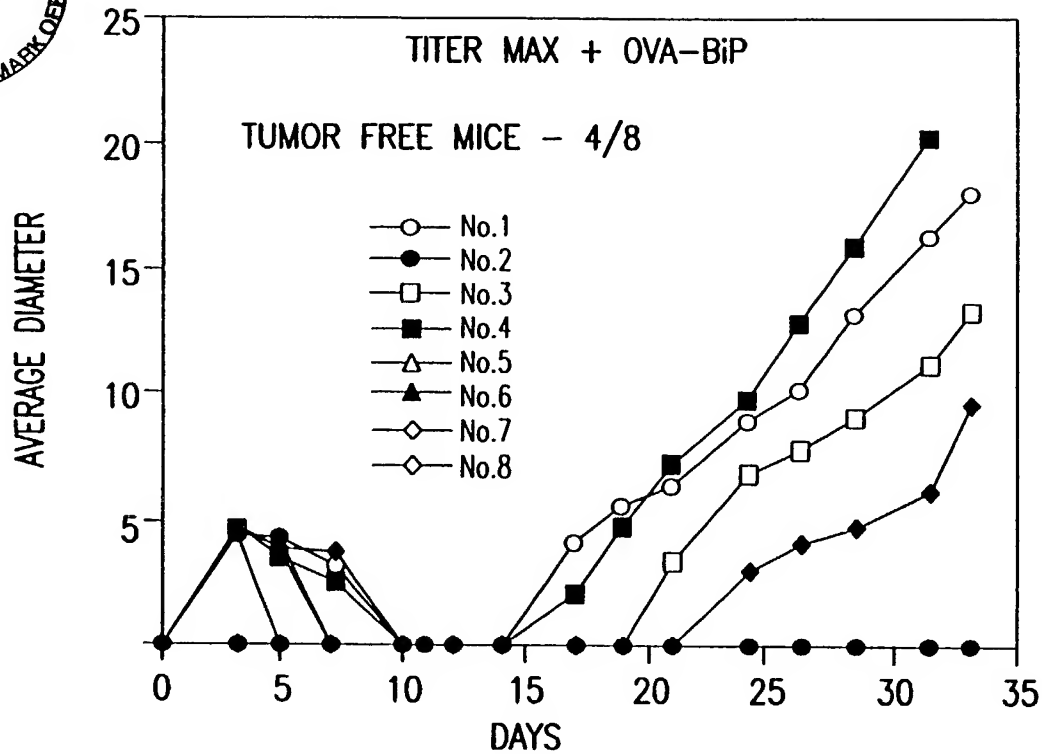


FIG.8C

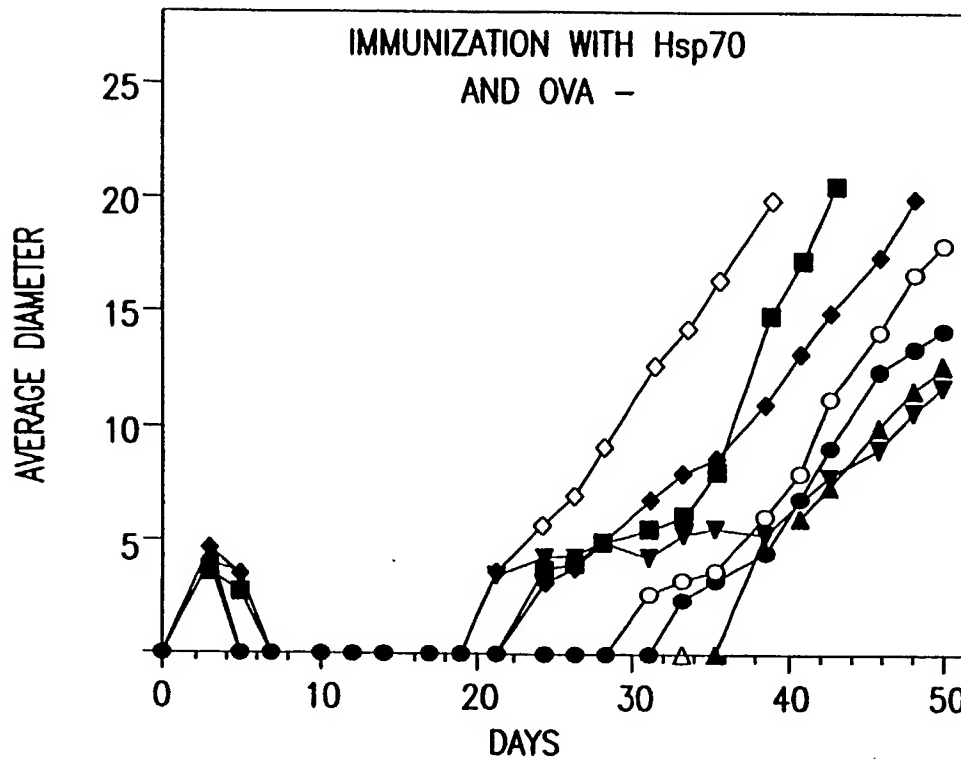


FIG.8D



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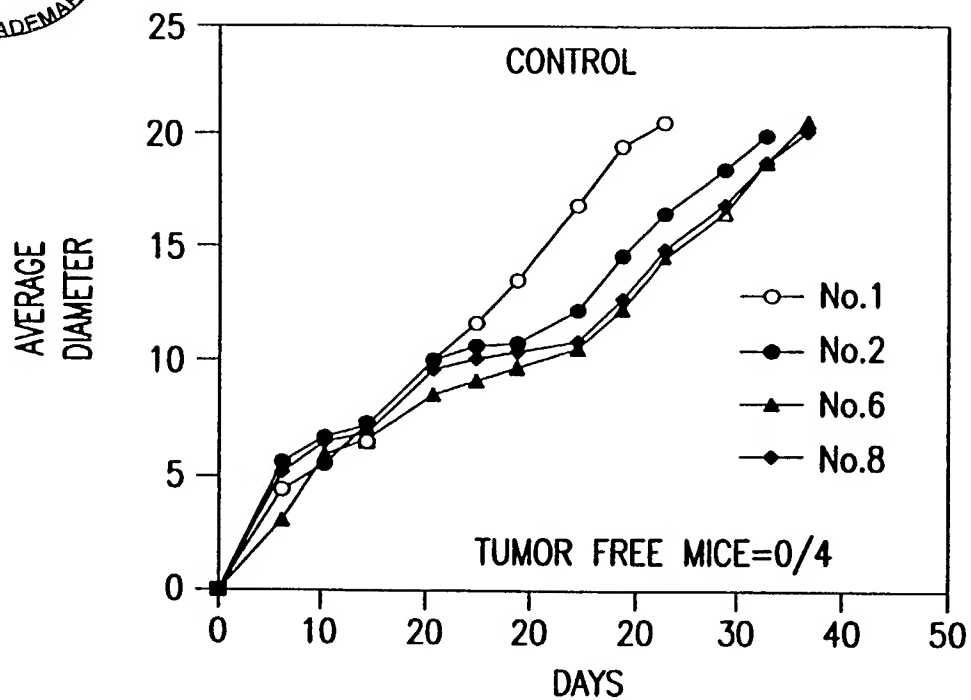


FIG.8E

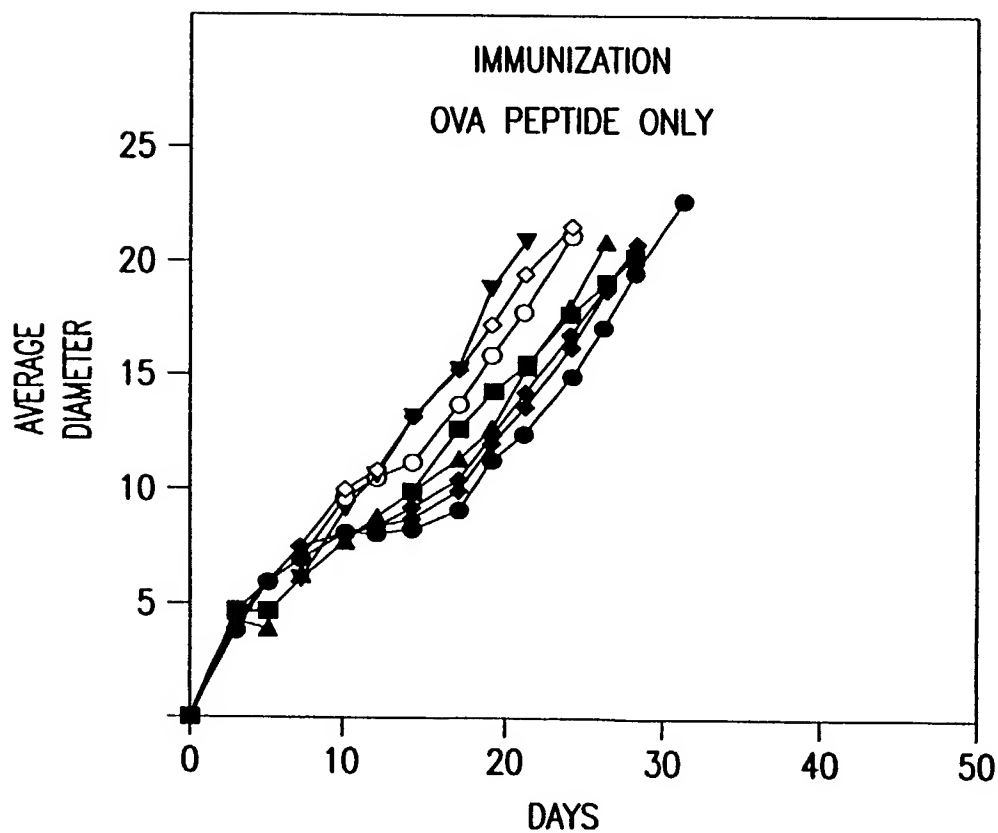


FIG.8F



10052578 .102802

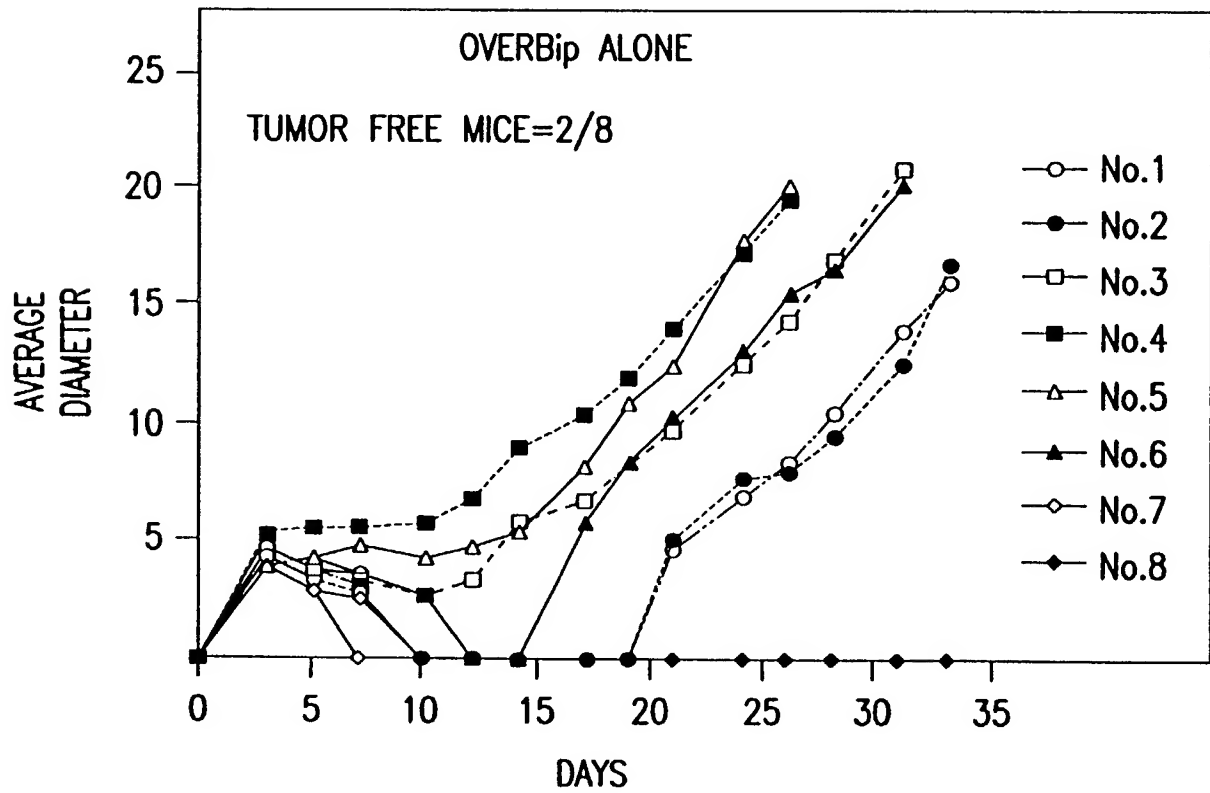


FIG.8G

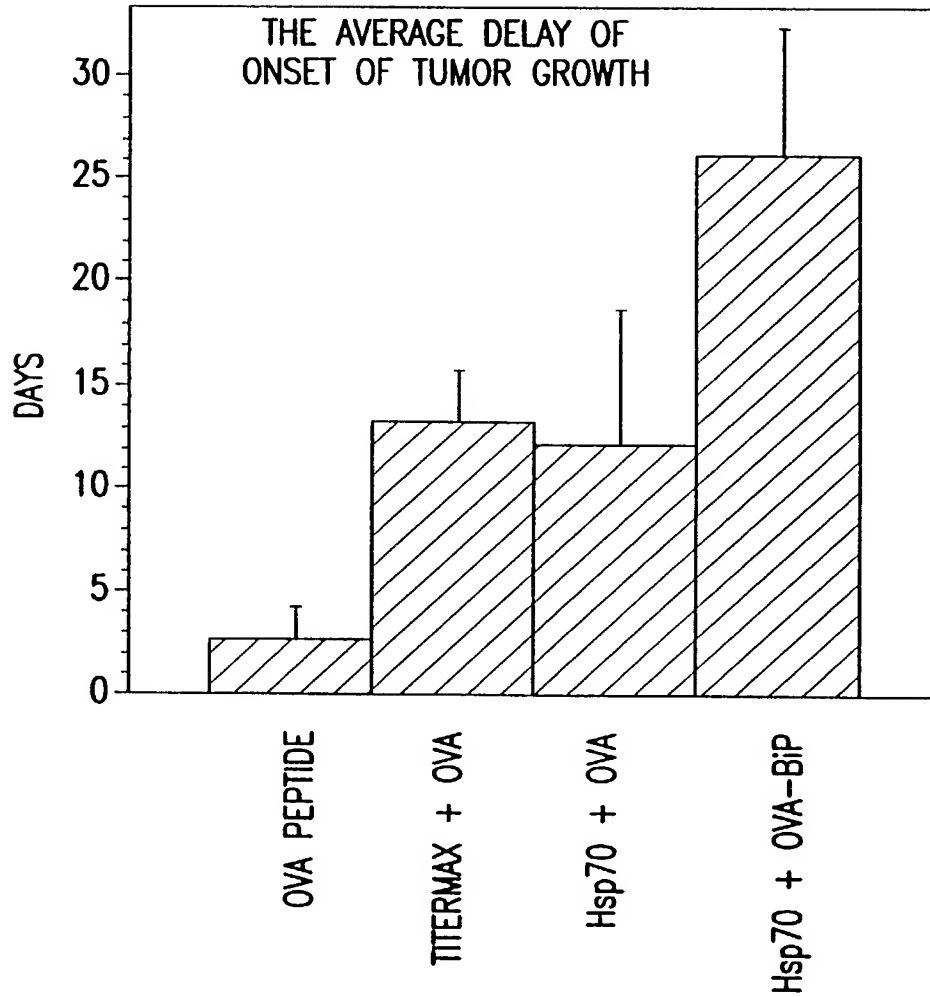


FIG.8H

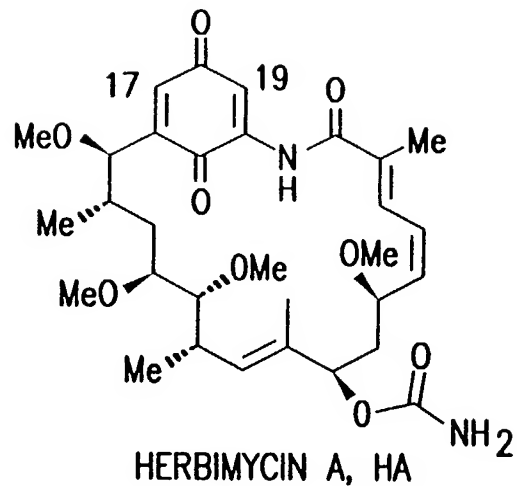
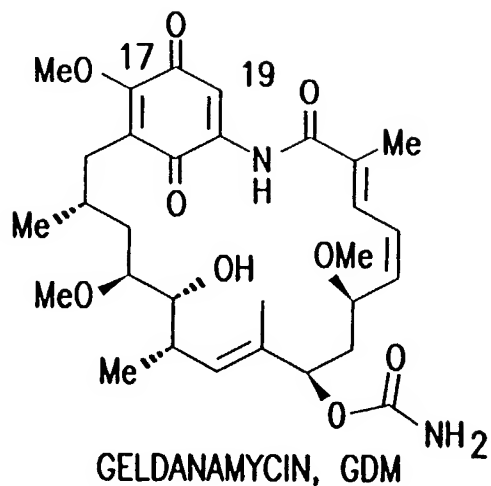


FIG.9A

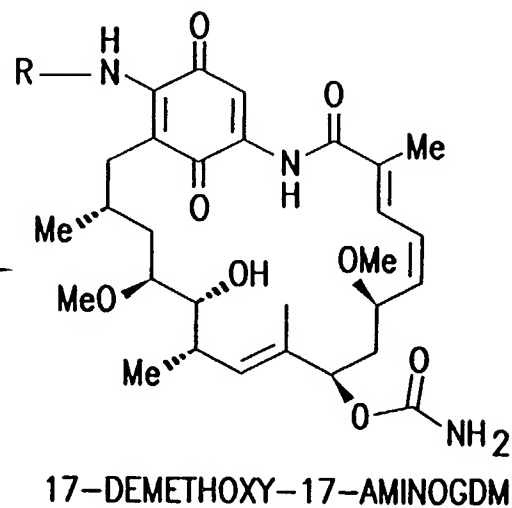
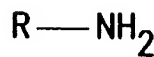
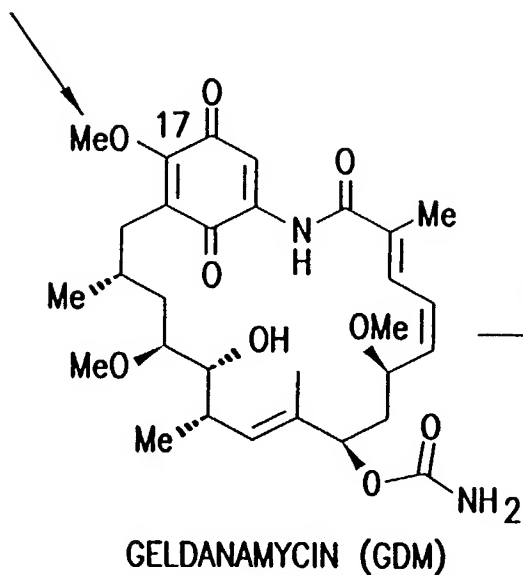
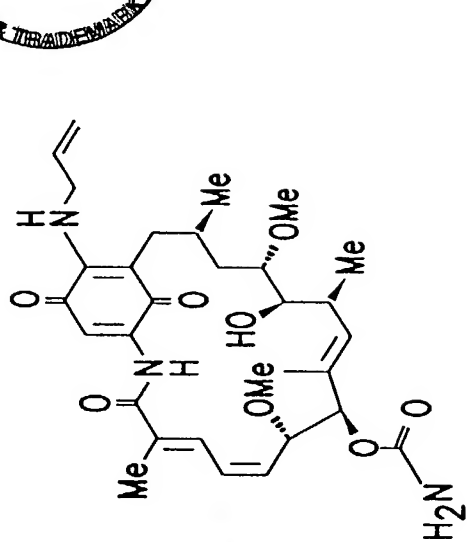


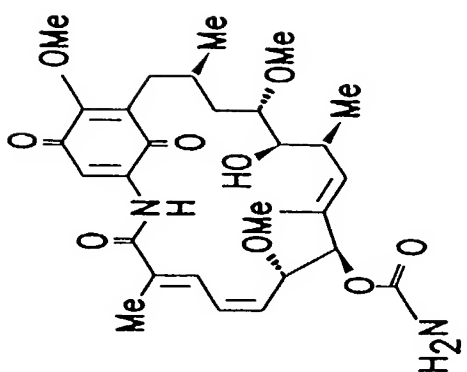
FIG.9B



10052578 . 102002

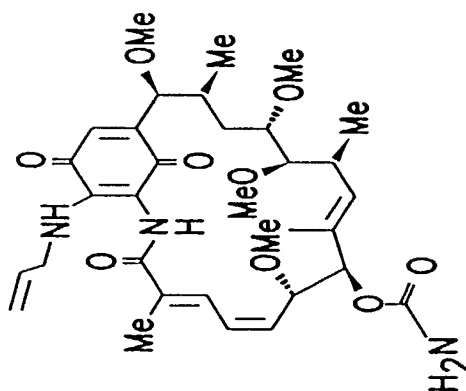


17-ALLYLAMINO-17-DEMETHOXY GDM

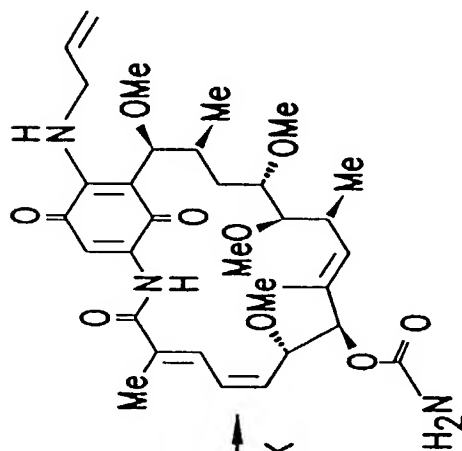


GDM

CHCl_3 , 20 MINUTES, RT

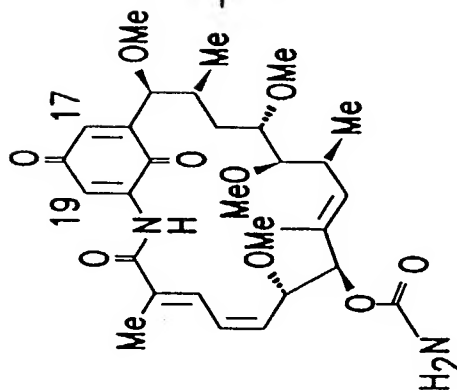


19-ALLYLAMINO HA
20% YIELD



17-ALLYLAMINO HA
30% YIELD

CHCl_3 , 40°C, OVERNIGHT, DARK

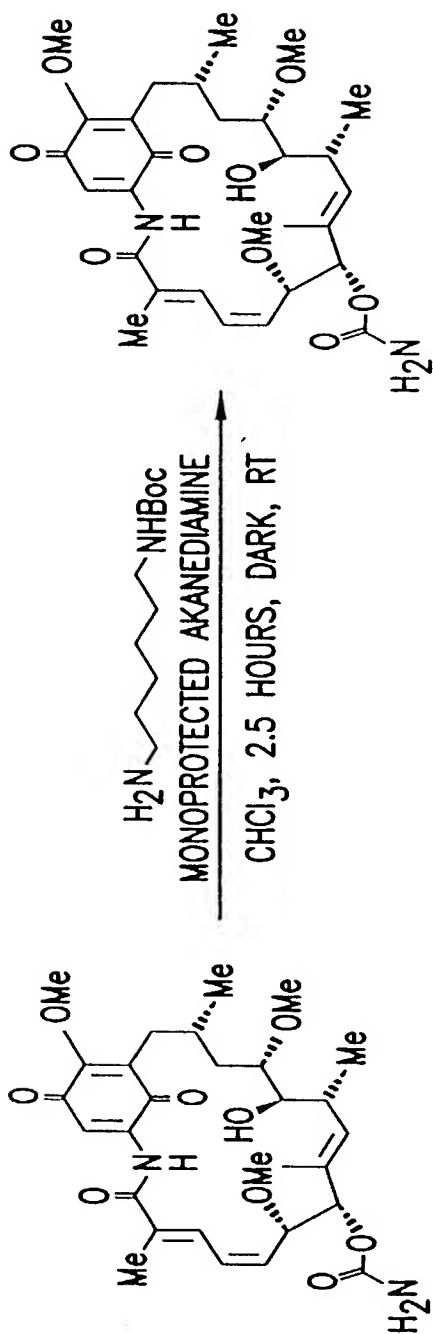


HERBIMYCIN A, HA

FIG. 9C

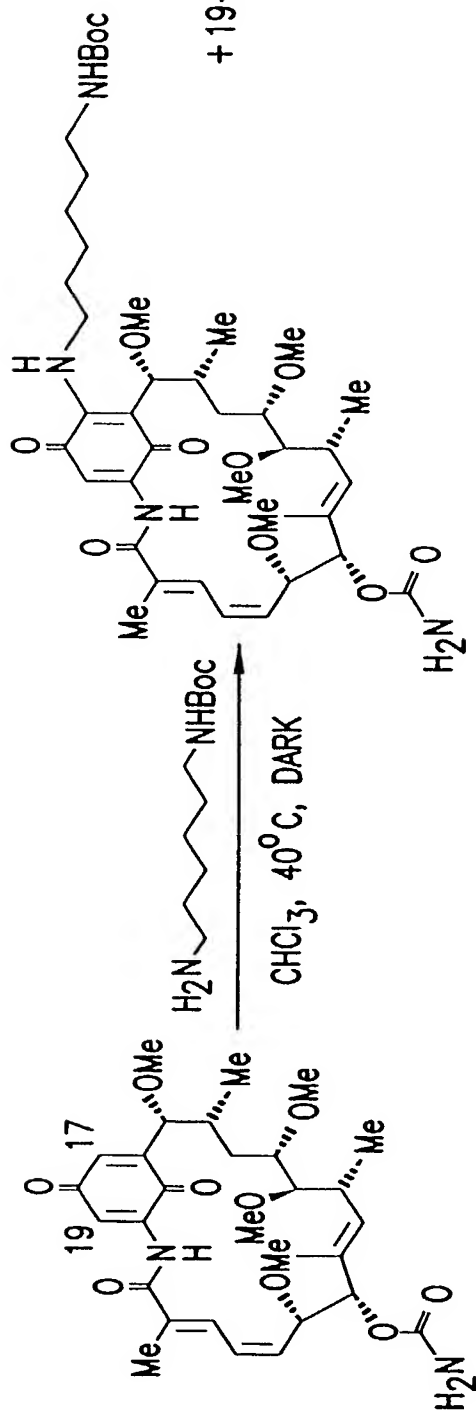


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GELDANAMYCIN, GDM

AMINOTETHERED GDM



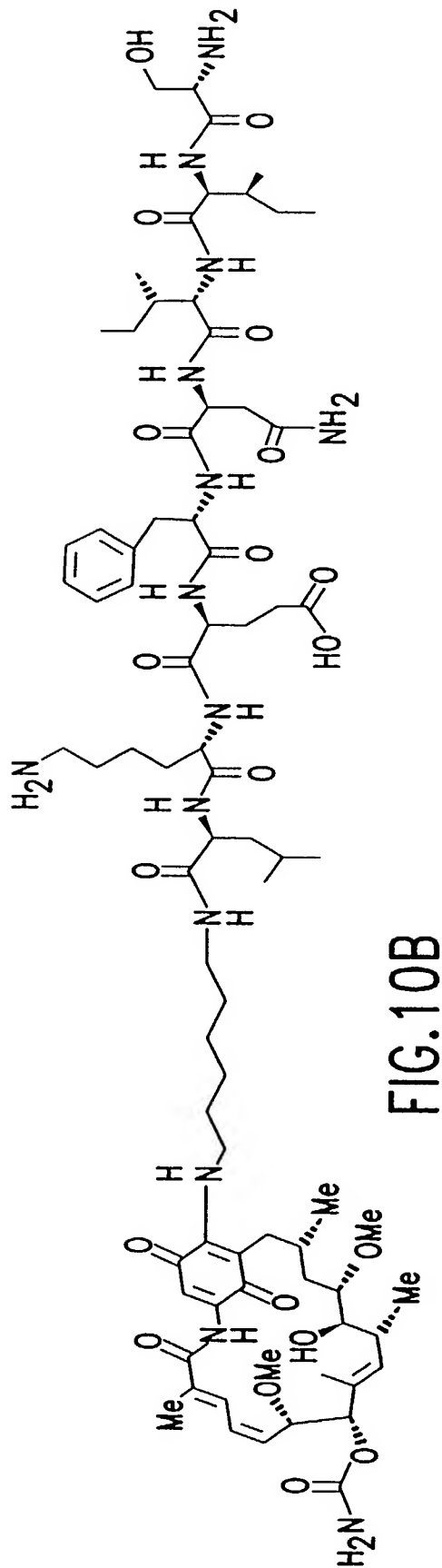
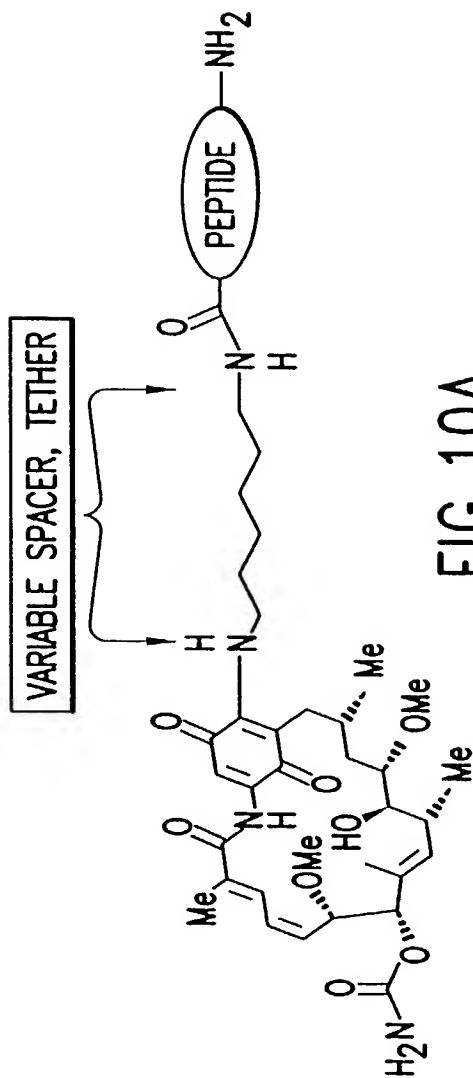
HERBIMYCIN A, HA

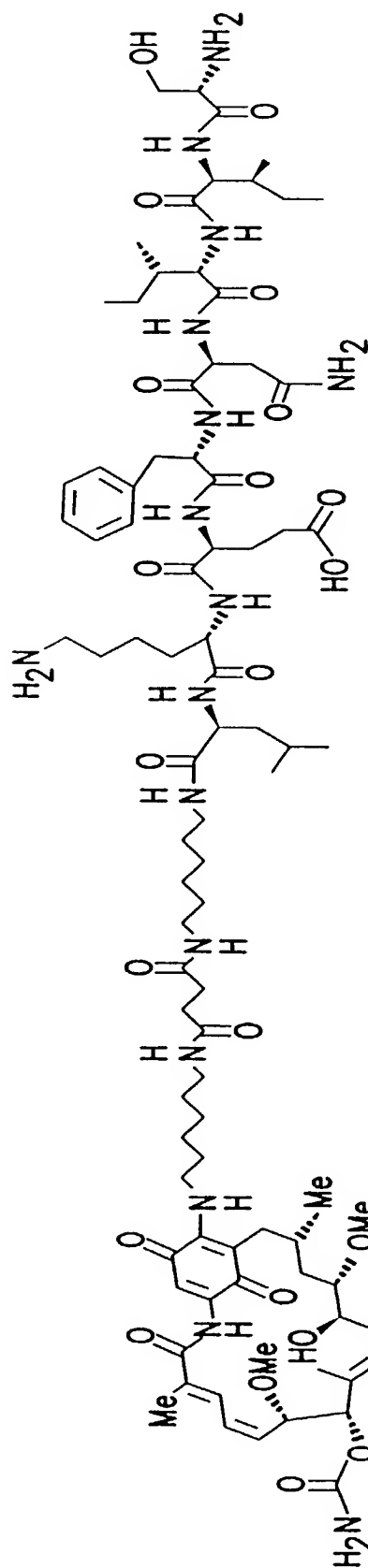
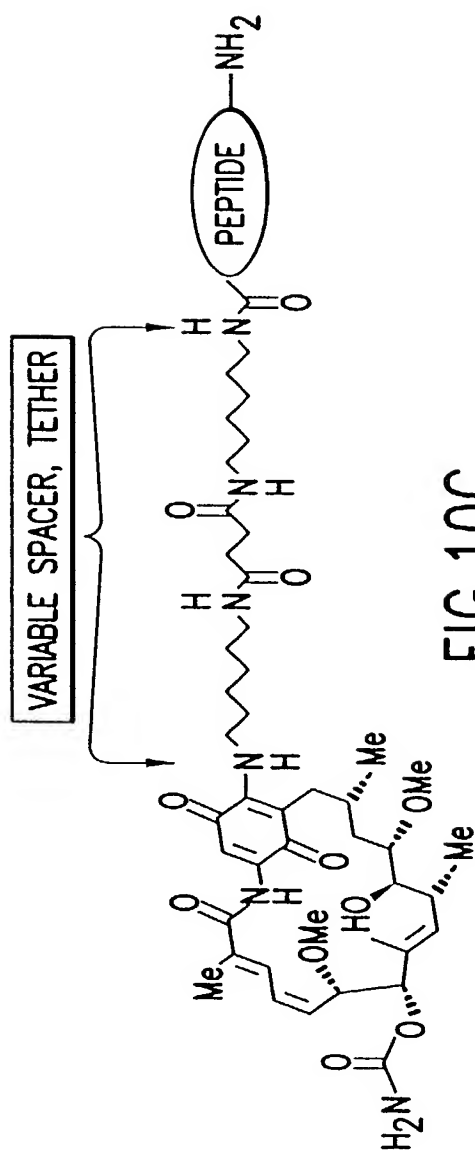
17-AMINOHEXYLAMINO HA

FIG.9D



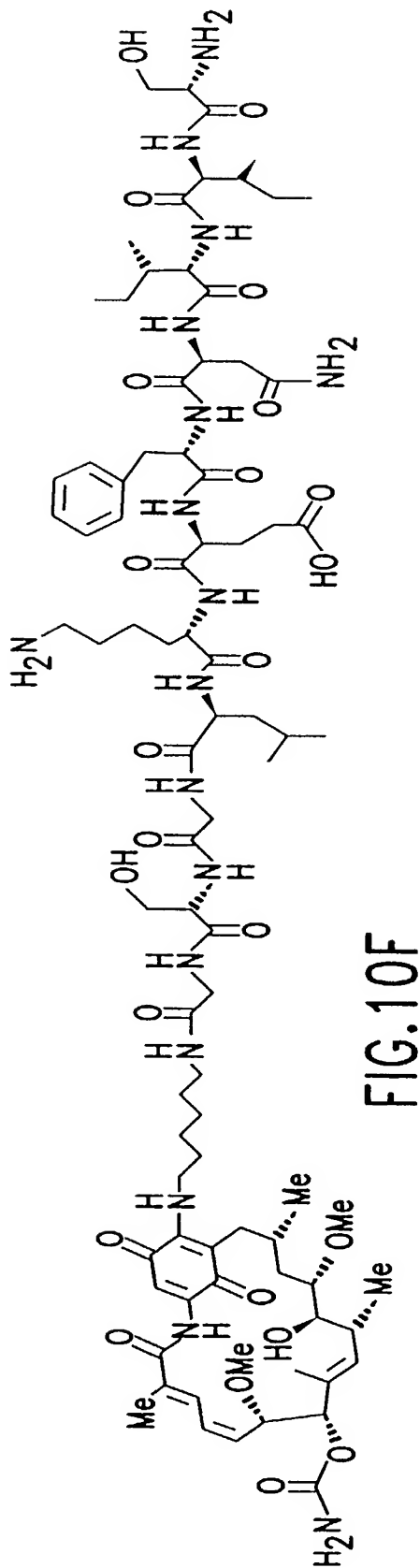
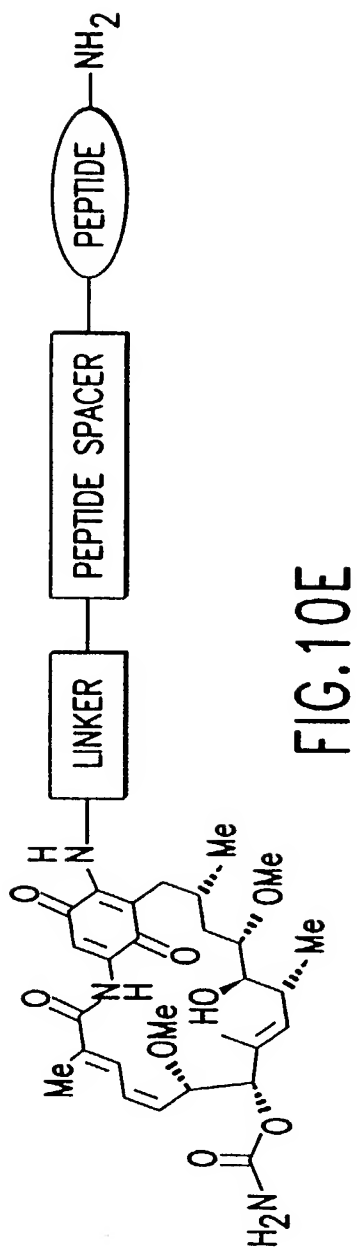
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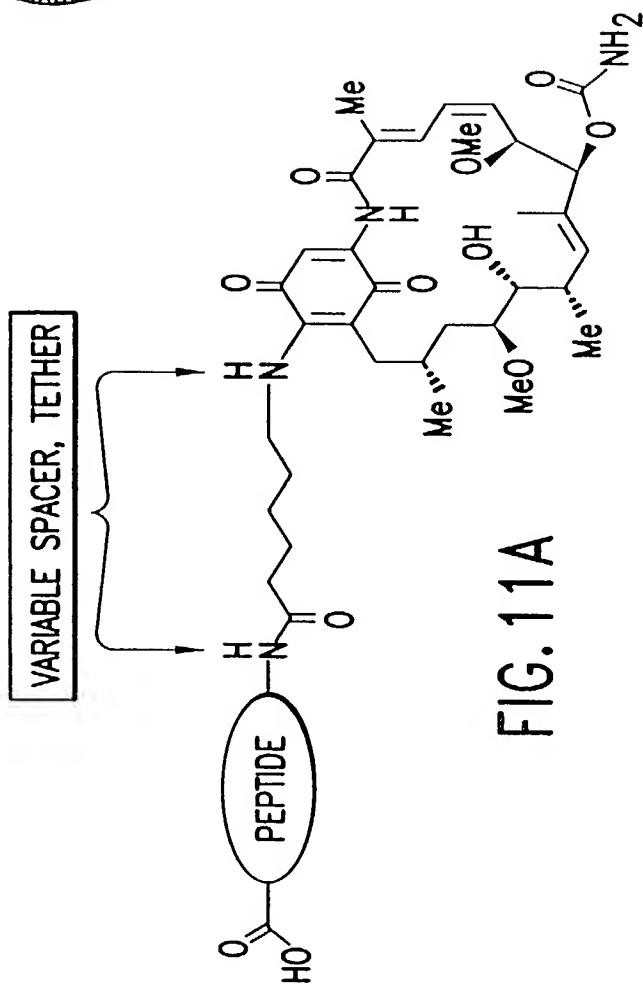


FIG. 11A

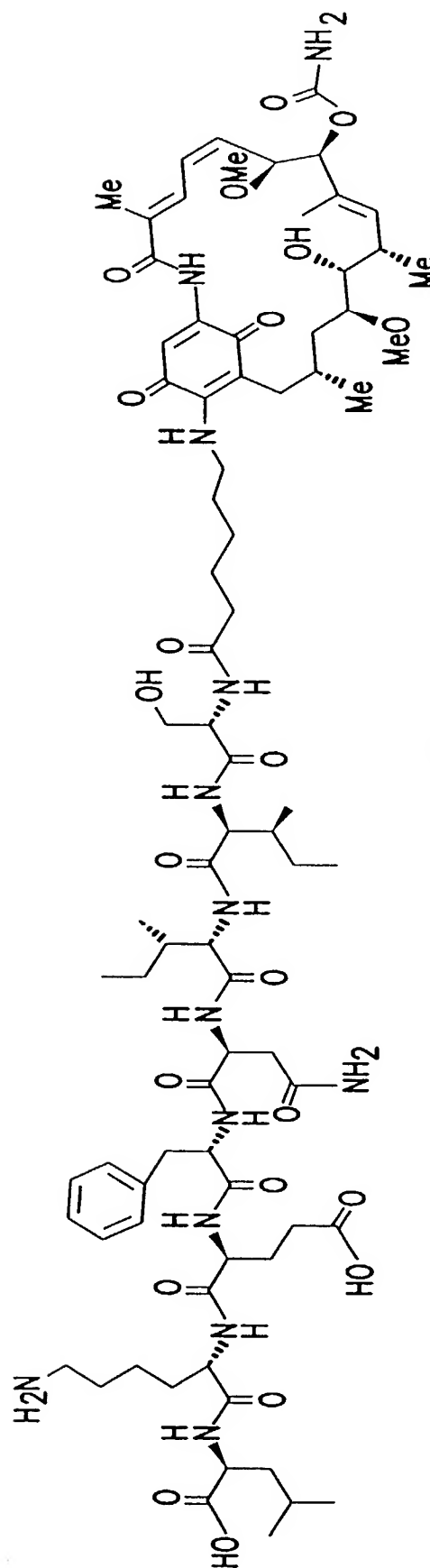


FIG. 11B



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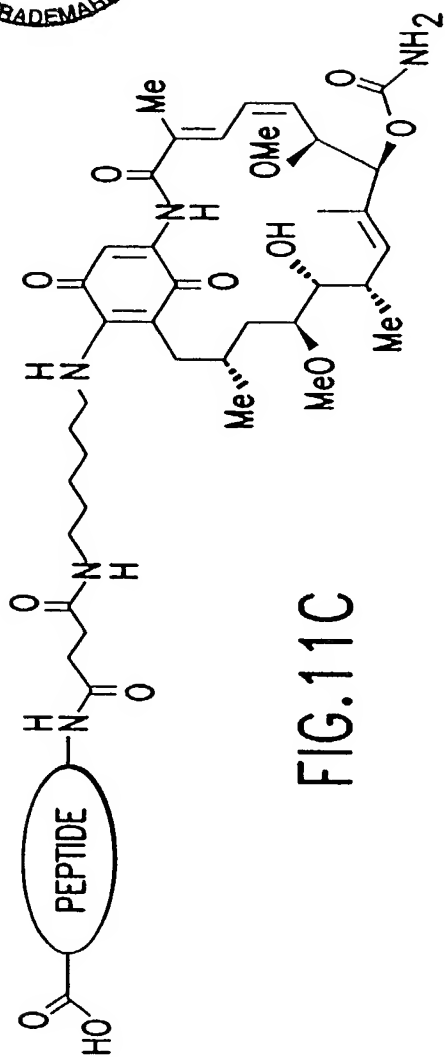


FIG. 11C

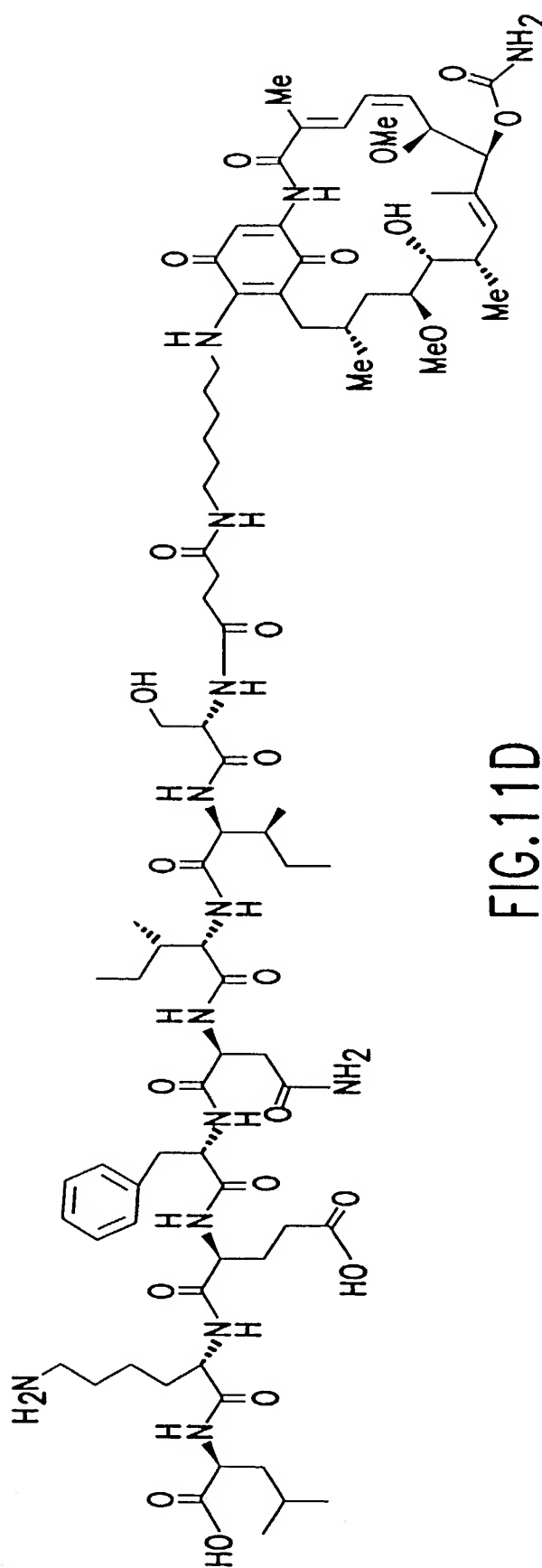


FIG. 11D

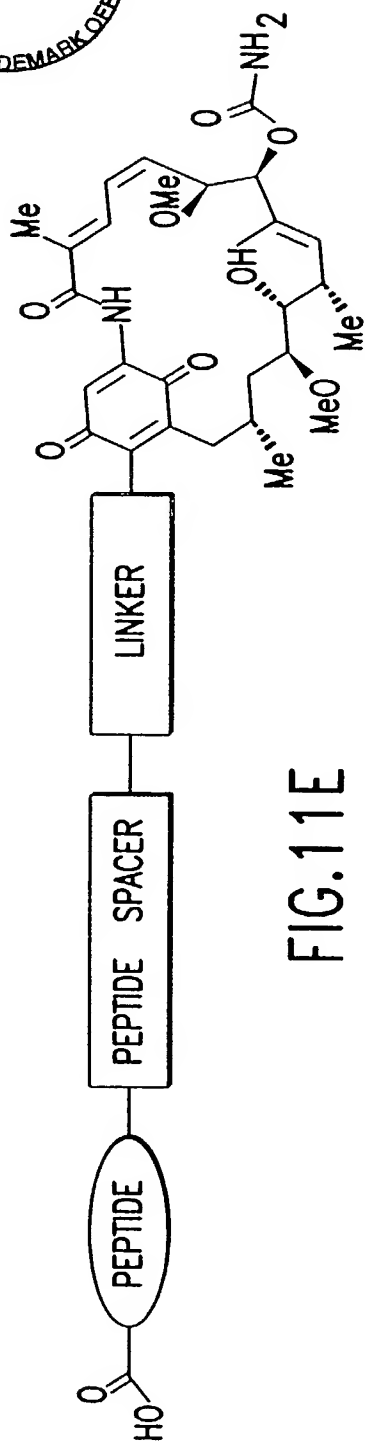


FIG. 11E

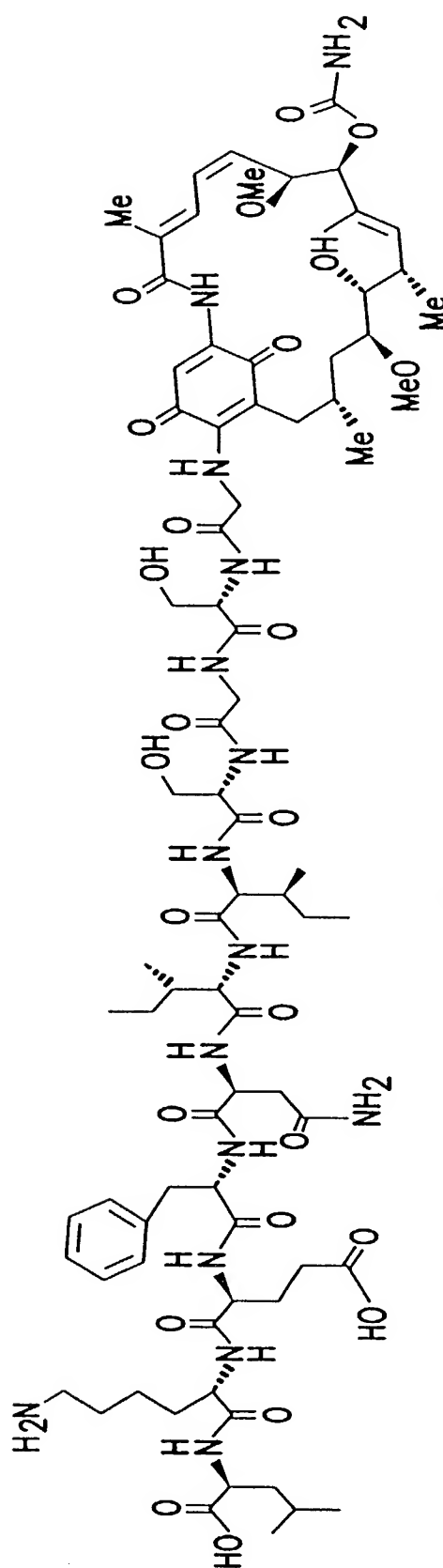


FIG. 11F

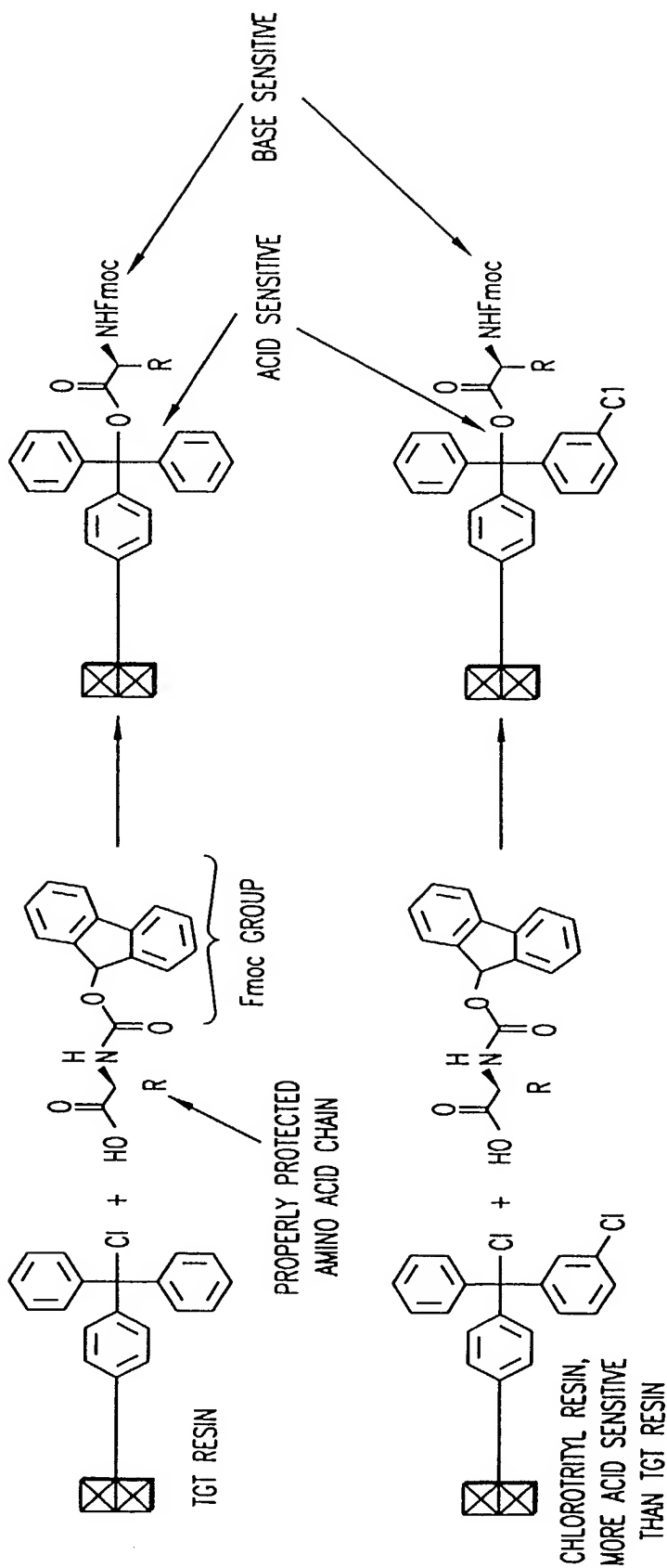


FIG.12

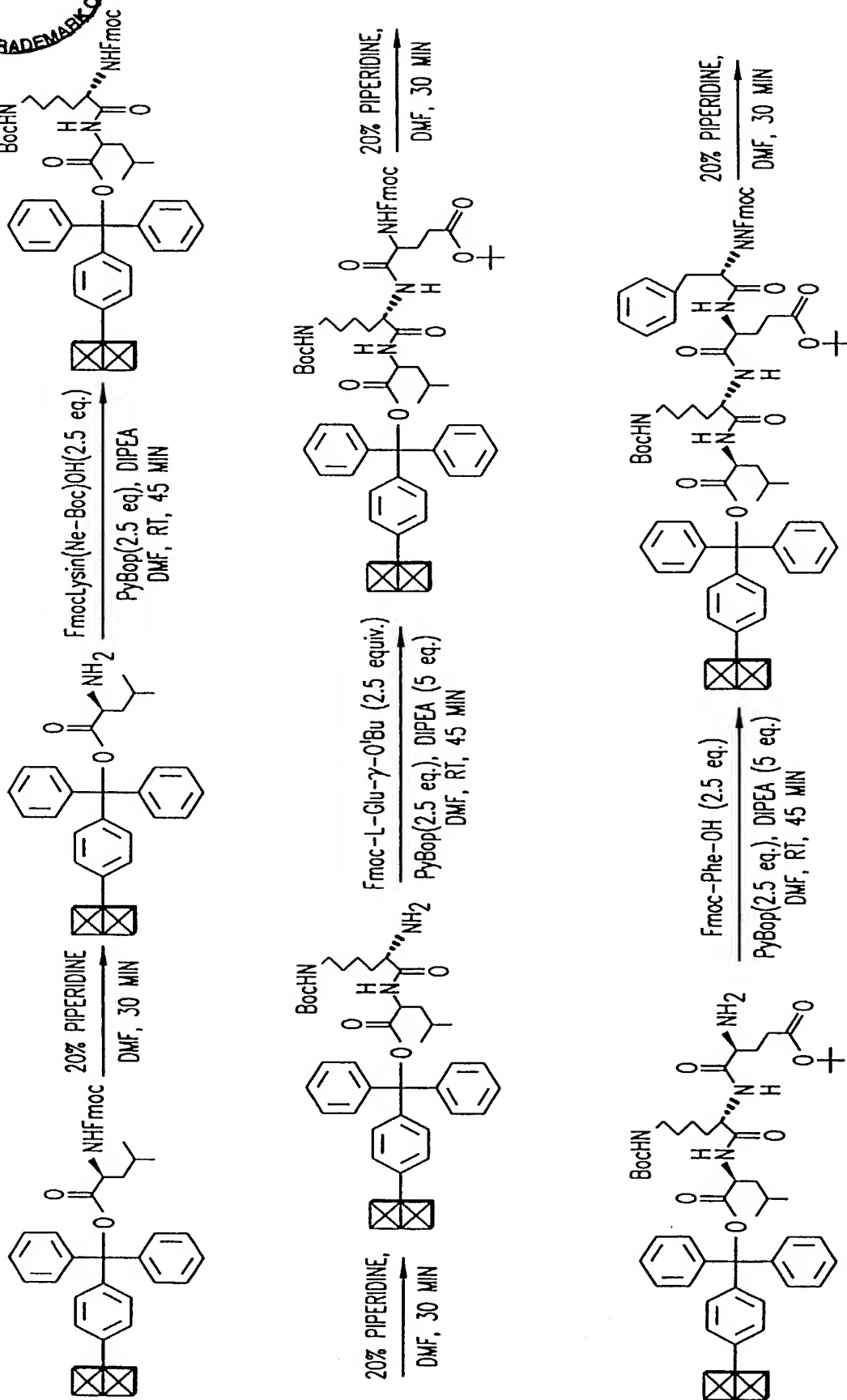
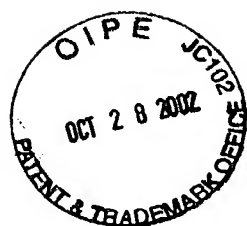


FIG.13A



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CONTINUED
ON
FIG. 13C

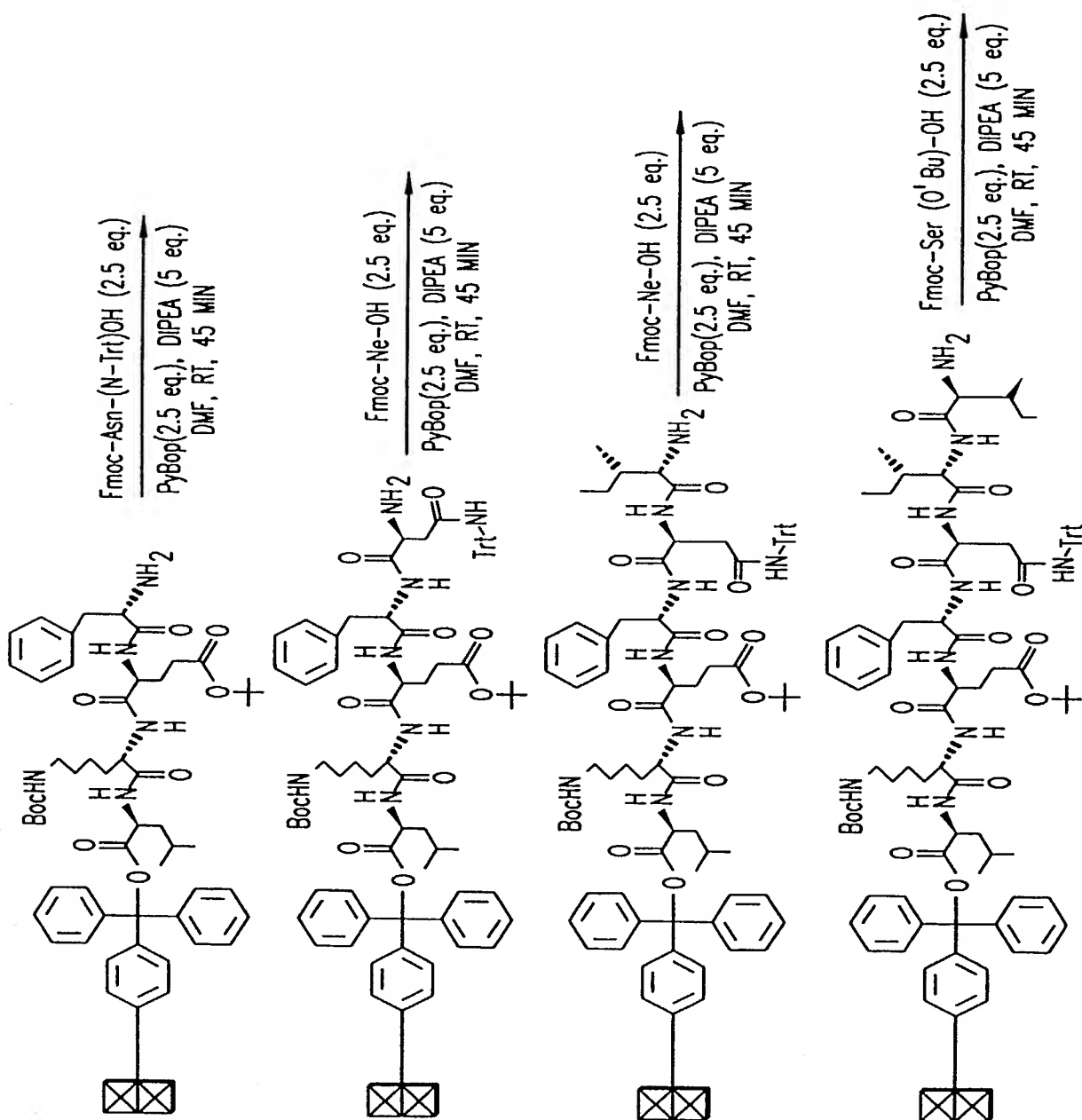
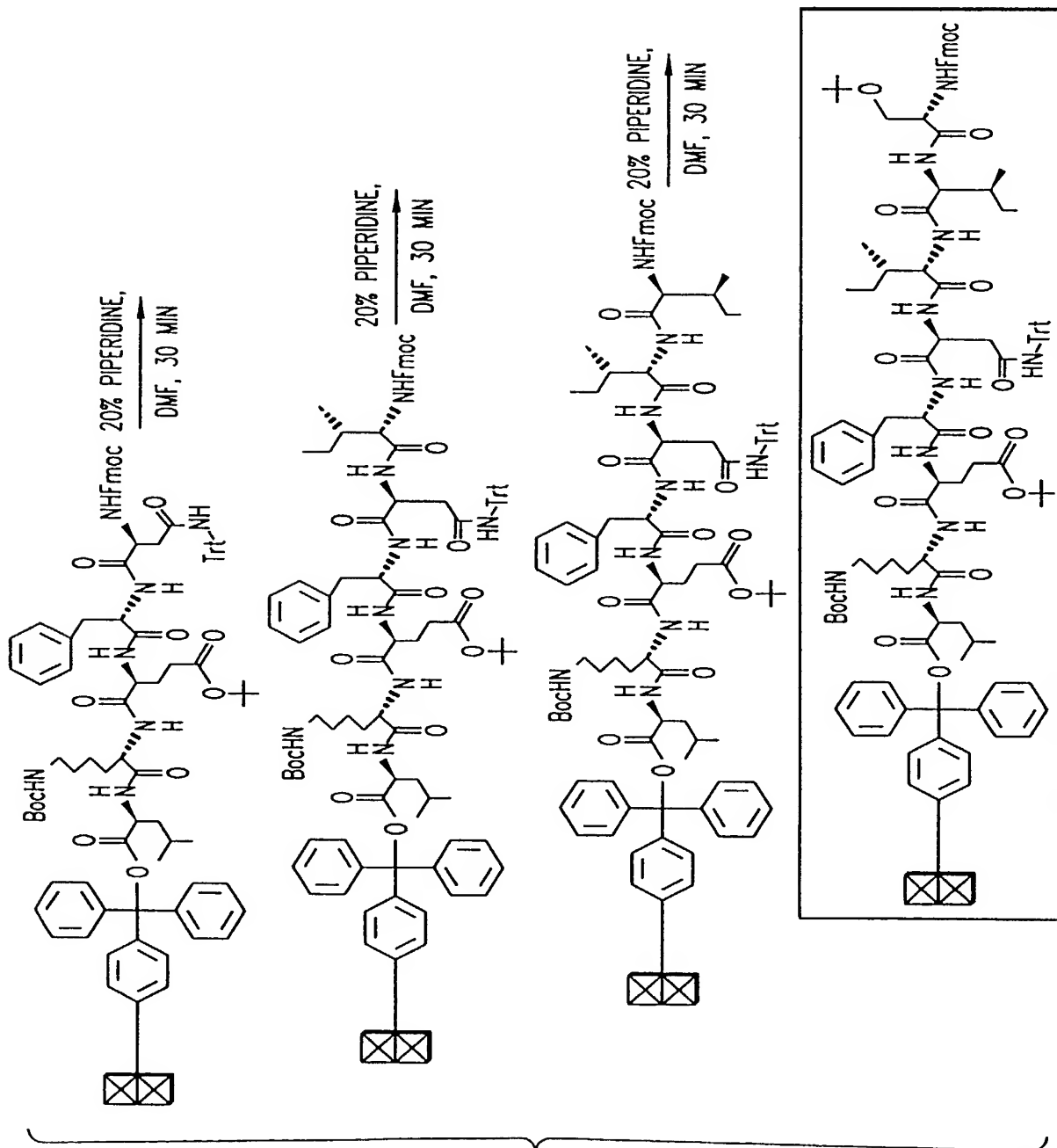


FIG. 13B



FIG. 13C



CONTINUED
FROM
FIG. 13B

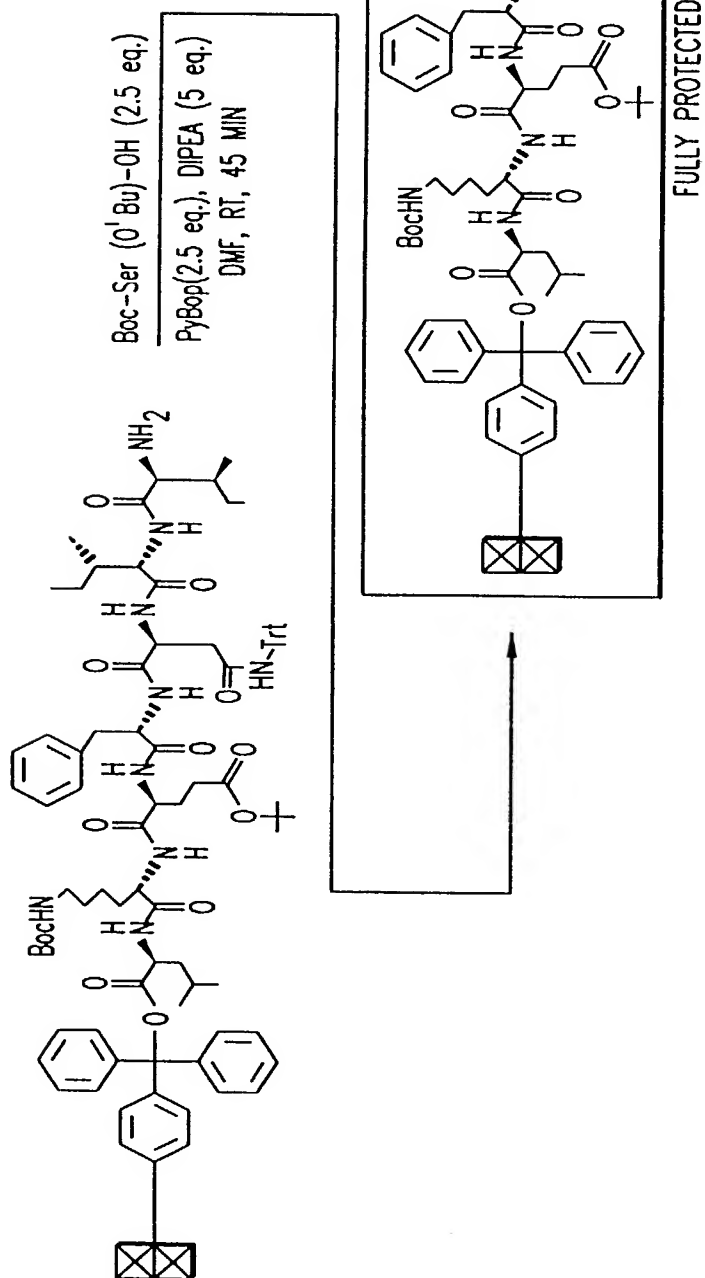
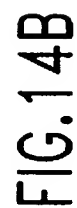


FIG.14A



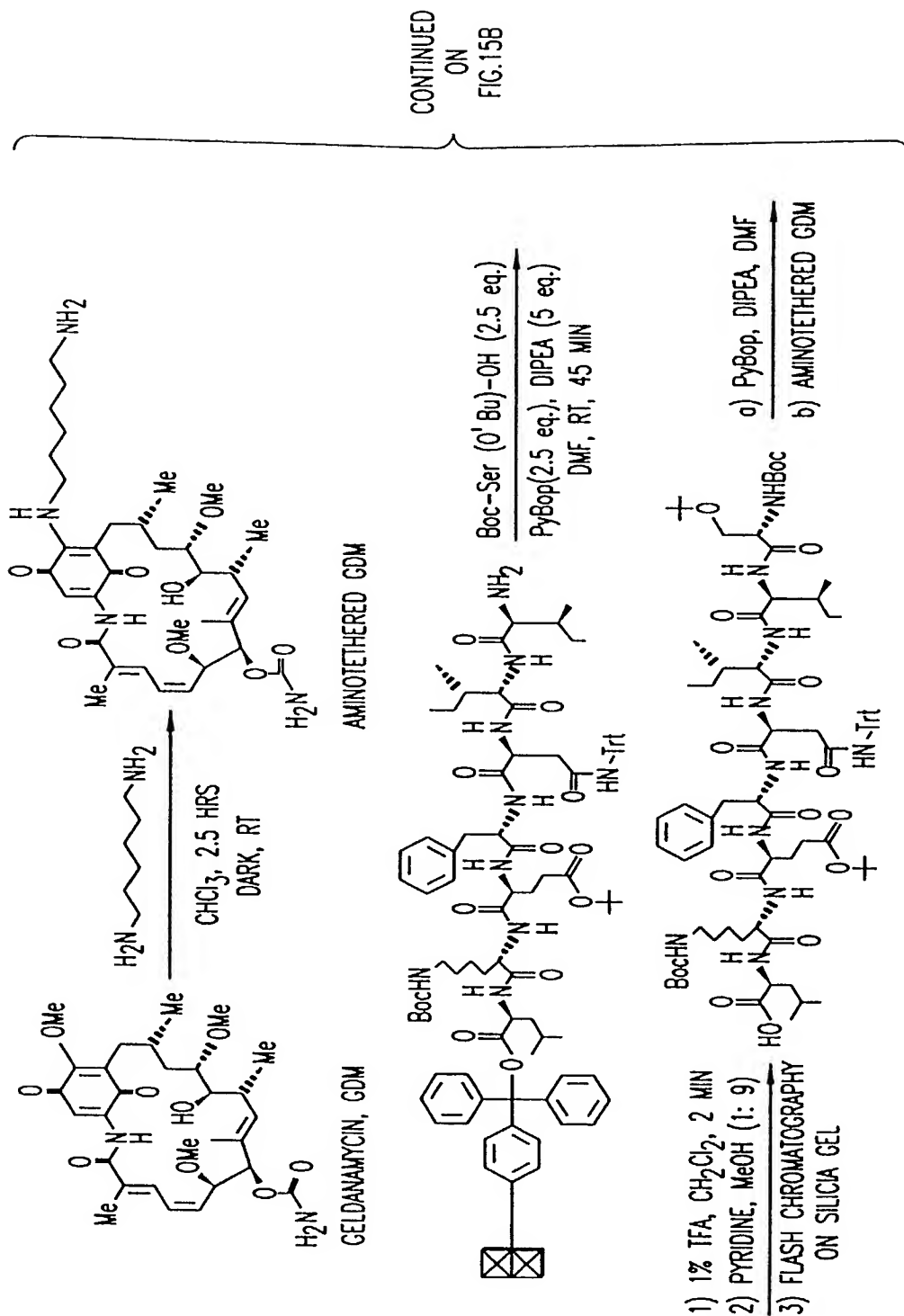
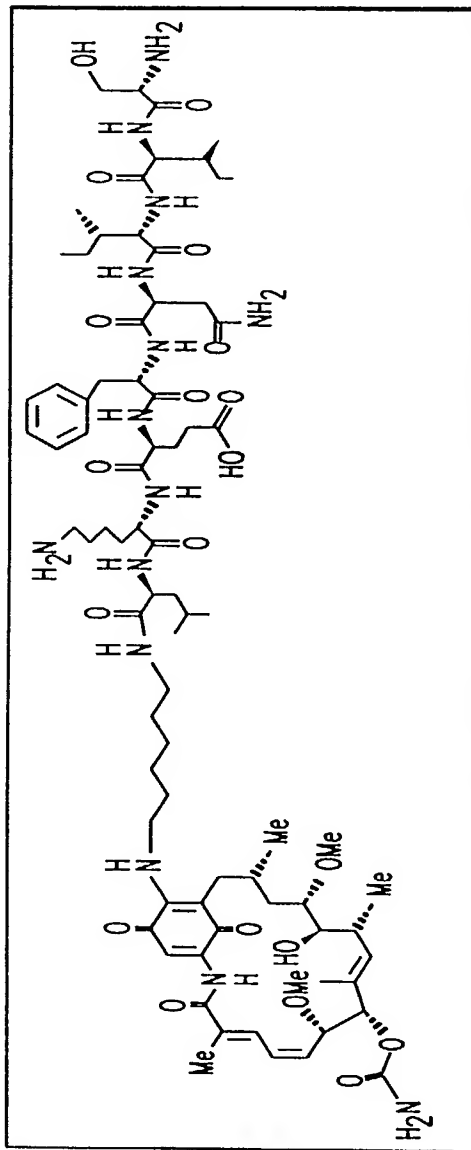
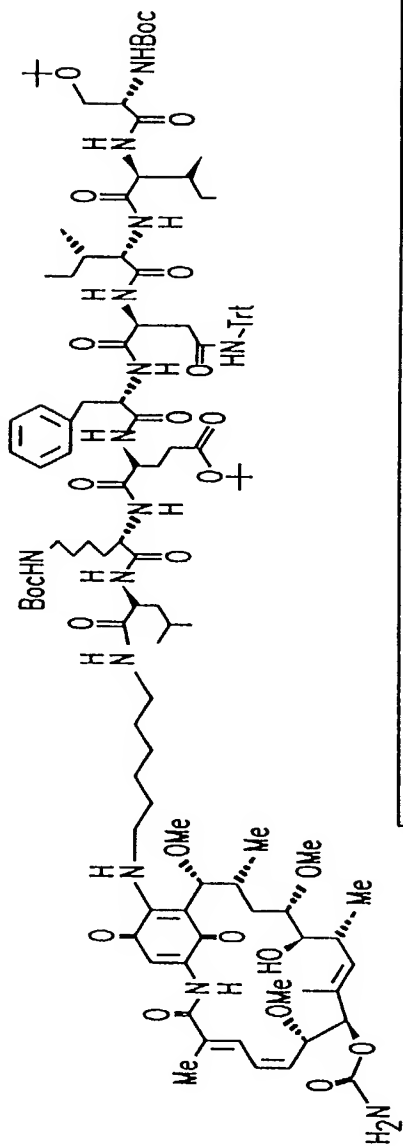
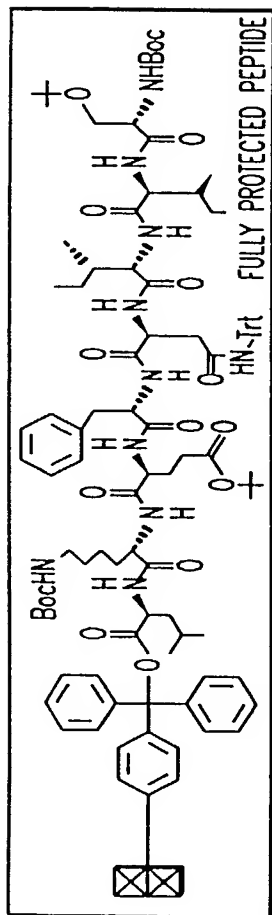


FIG. 15A



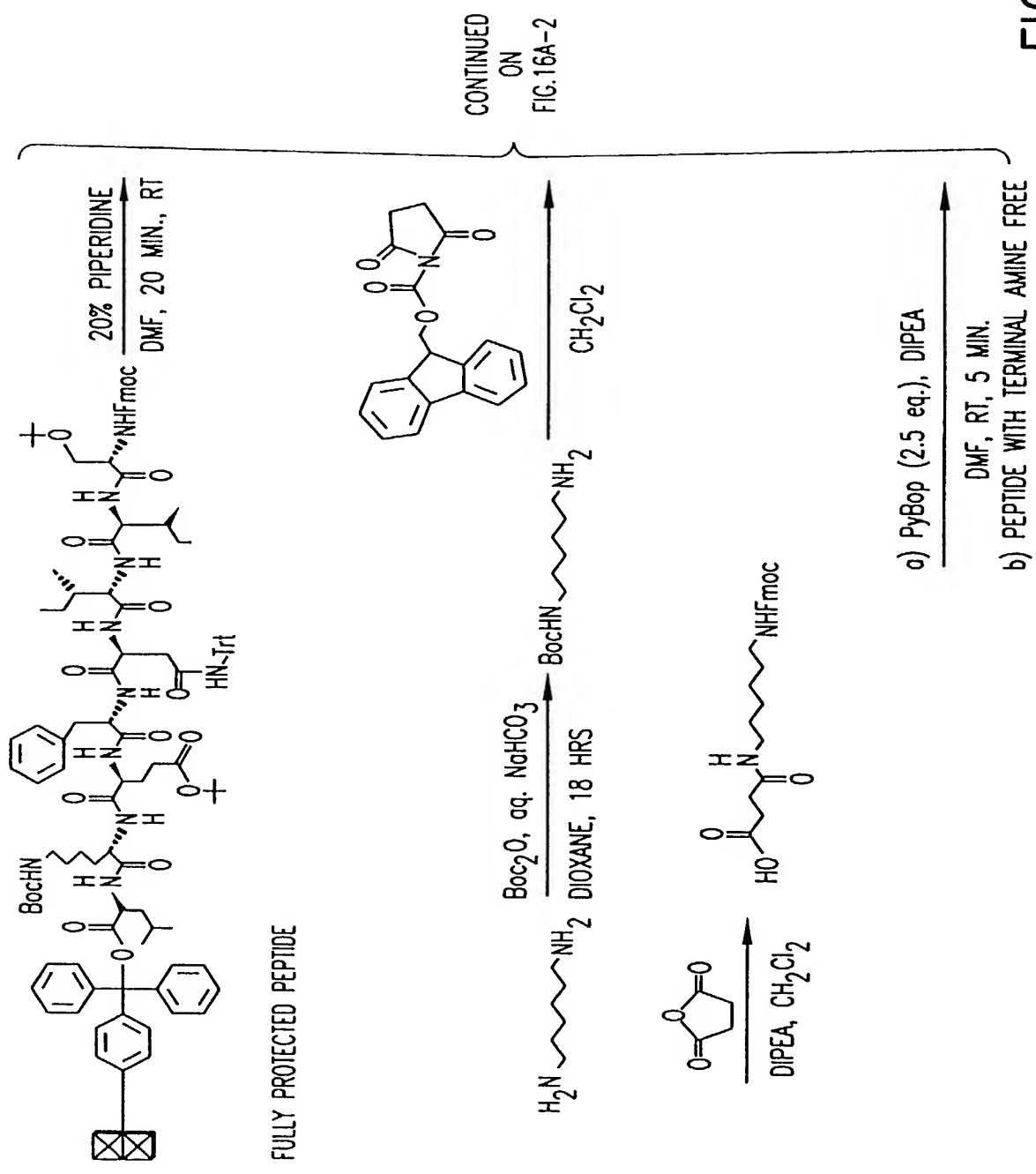
10052578 . 102002



1) DEPROTECTION:
95% TFA, 2.5% CH₂Cl₂, 2.5% TIPS
2) PURIFICATION

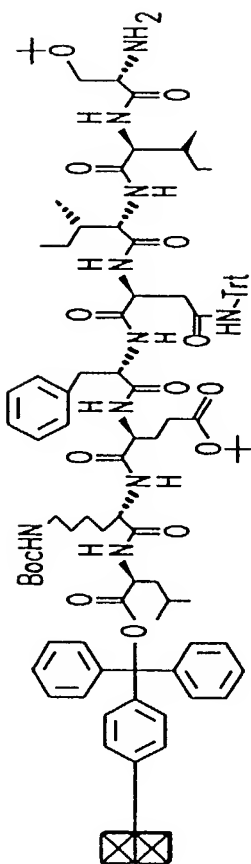
FIG.15B

CONTINUED
FROM
FIG.15A



CONTINUED
ON
FIG. 16A-2

FIG. 16A-1



PEPTIDE WITH TERMINAL AMINE FREE

CONTINUED
FROM
FIG.16A-1

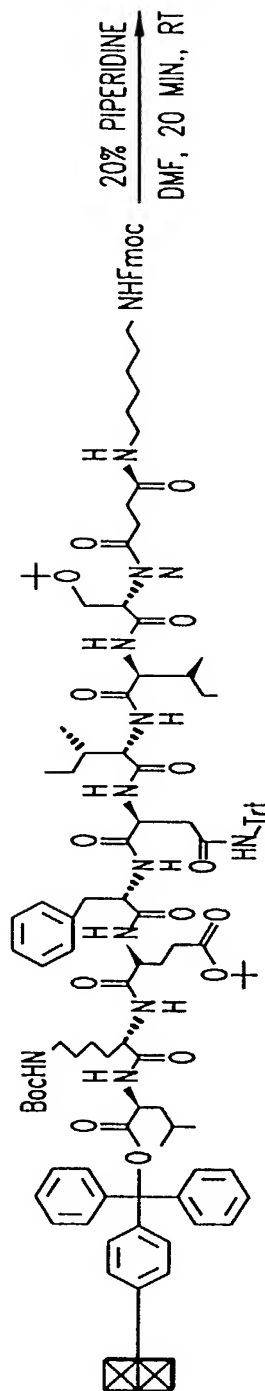


FIG.16A-2



10052579.102802

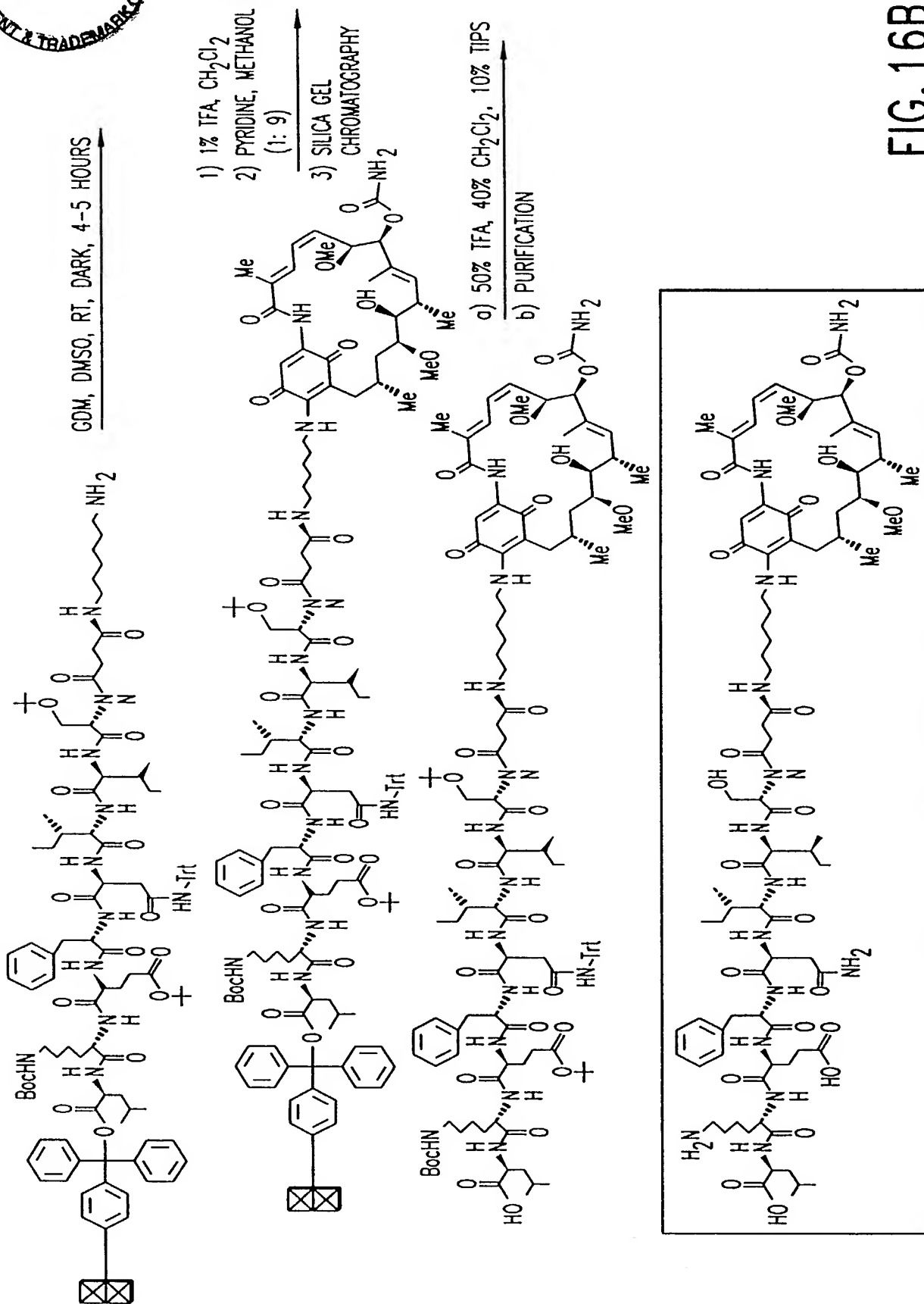


FIG. 16B

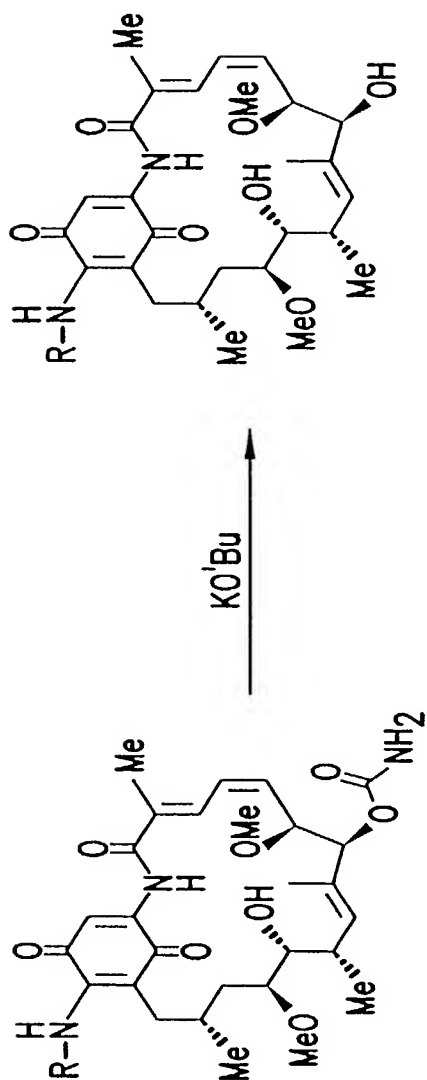


FIG. 17A

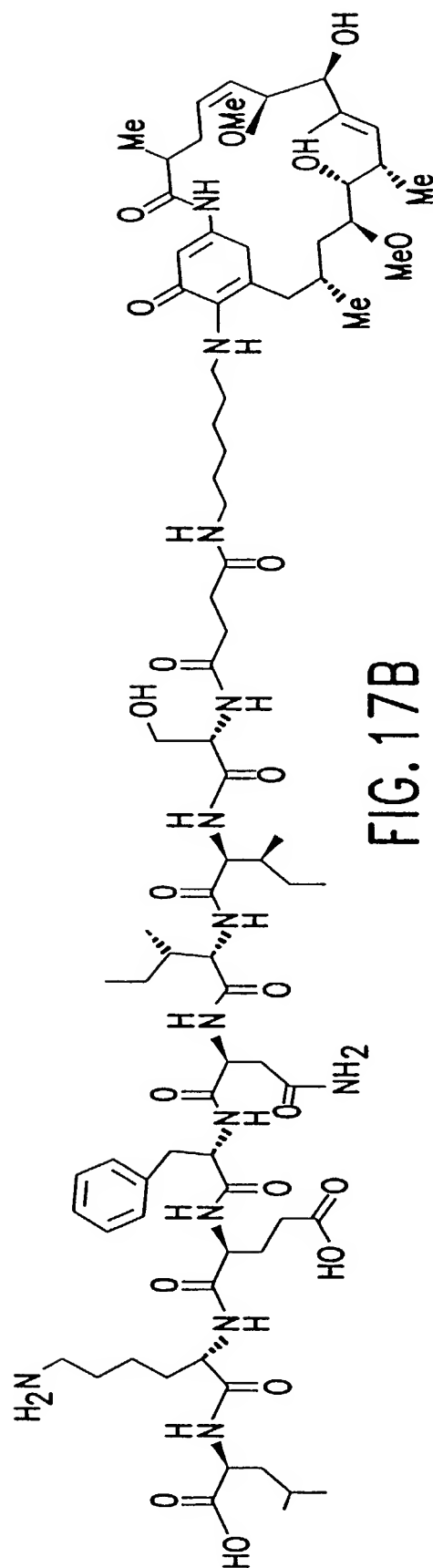


FIG. 17B

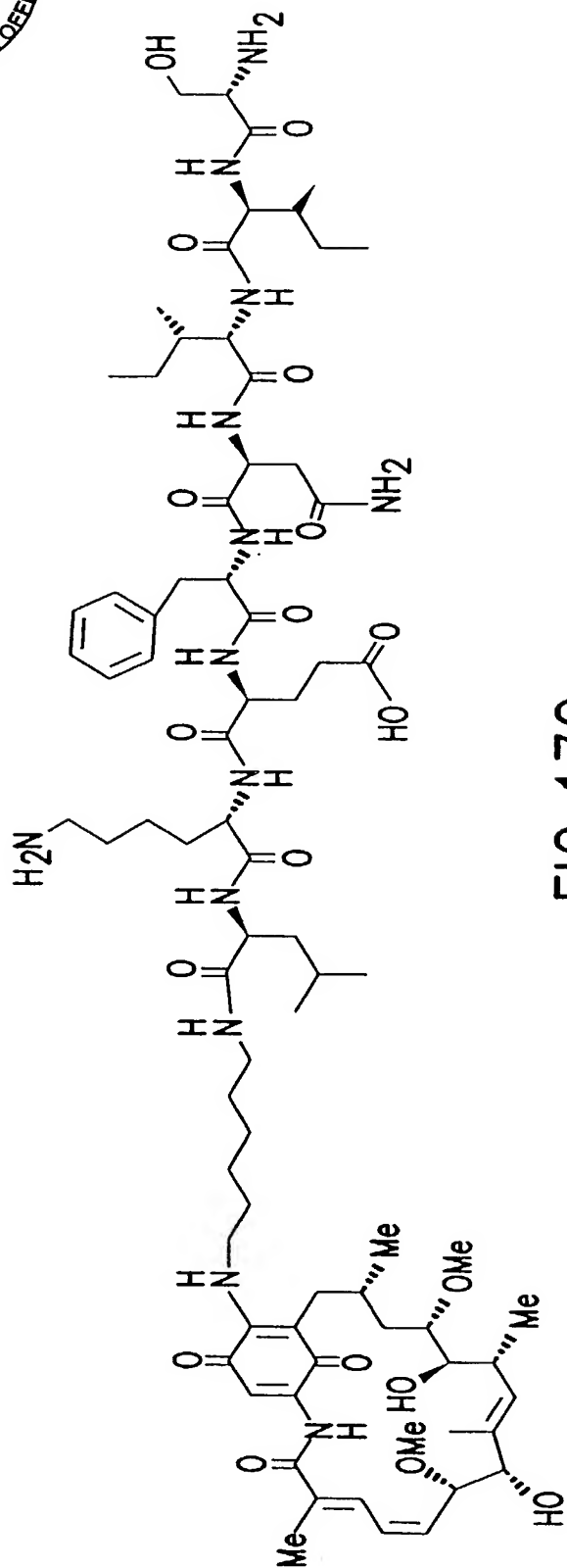


FIG. 17C

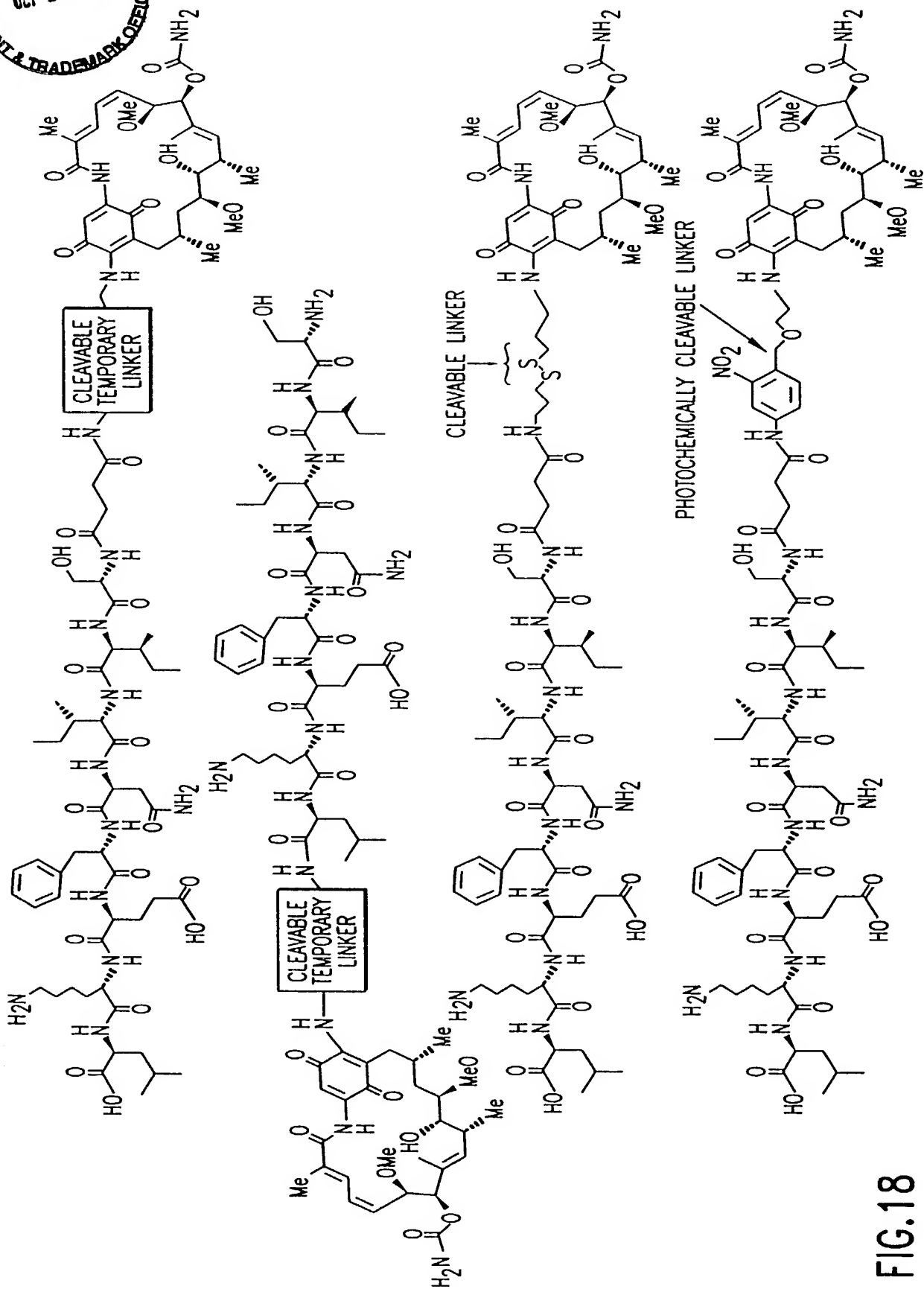
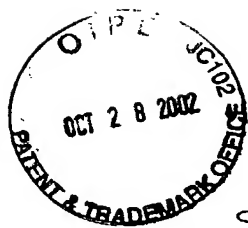


FIG.18

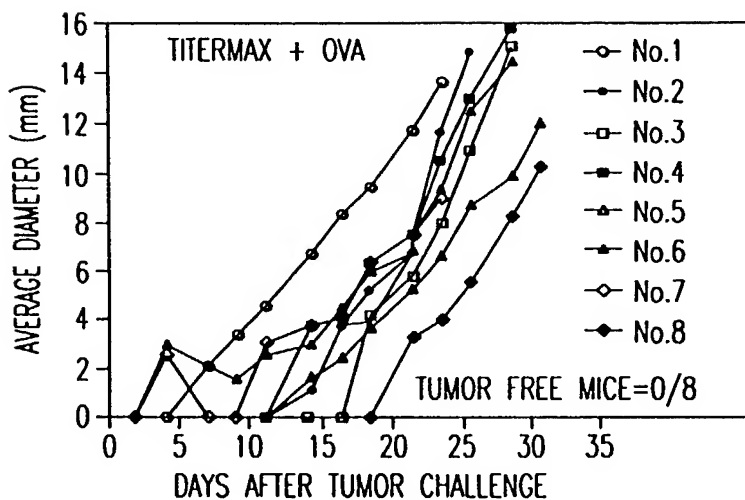


FIG.19A

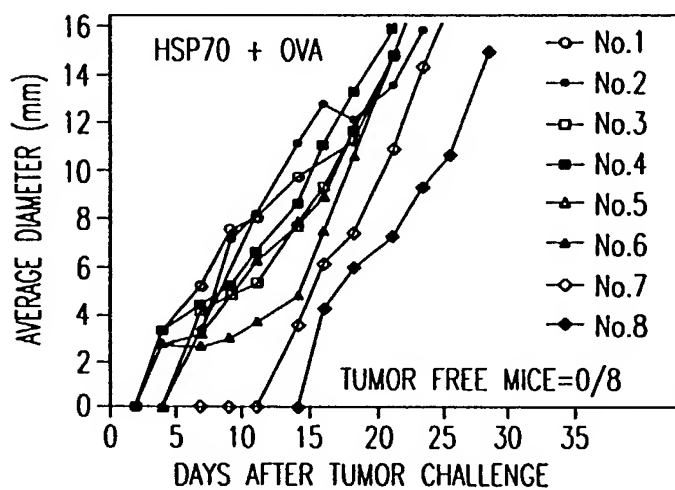


FIG.19B

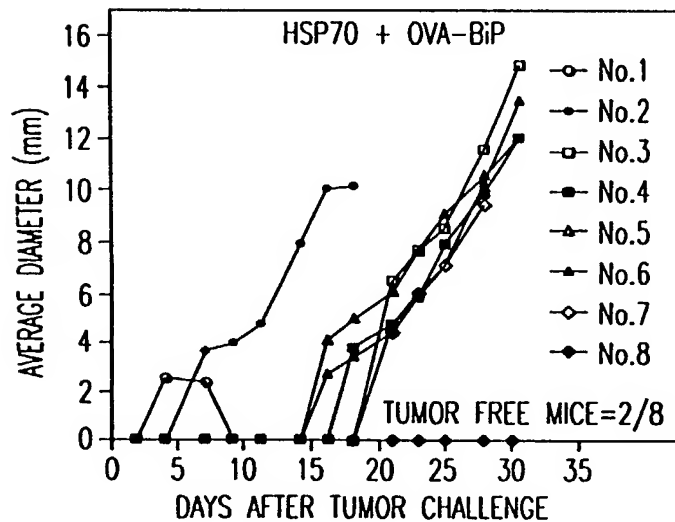


FIG.19C

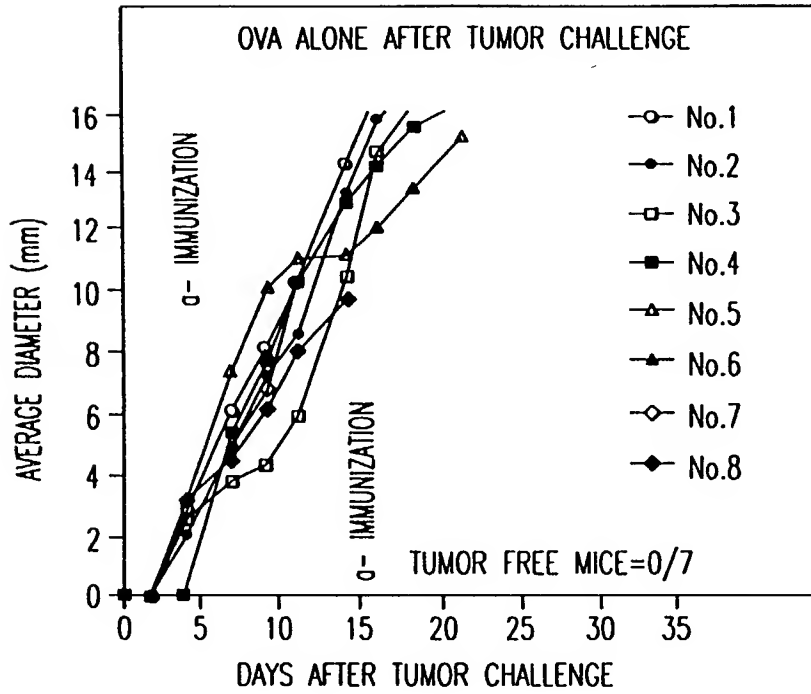


FIG.19D

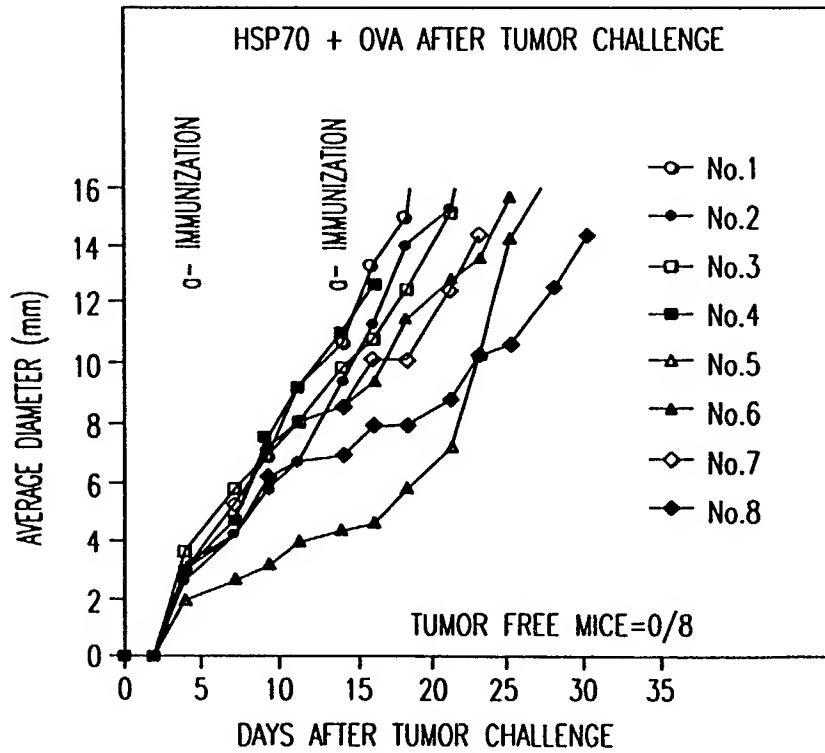


FIG.19E

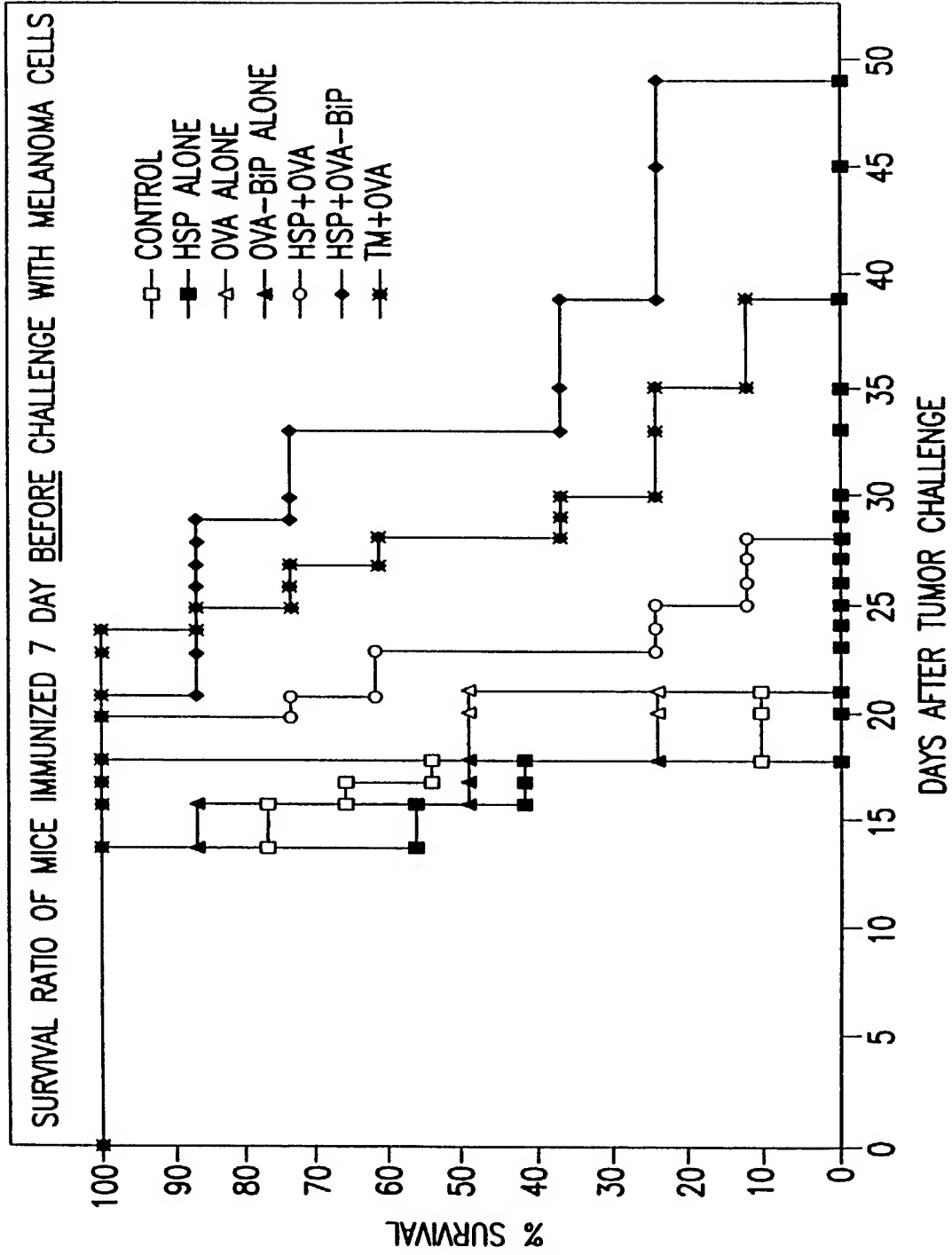


FIG.19F

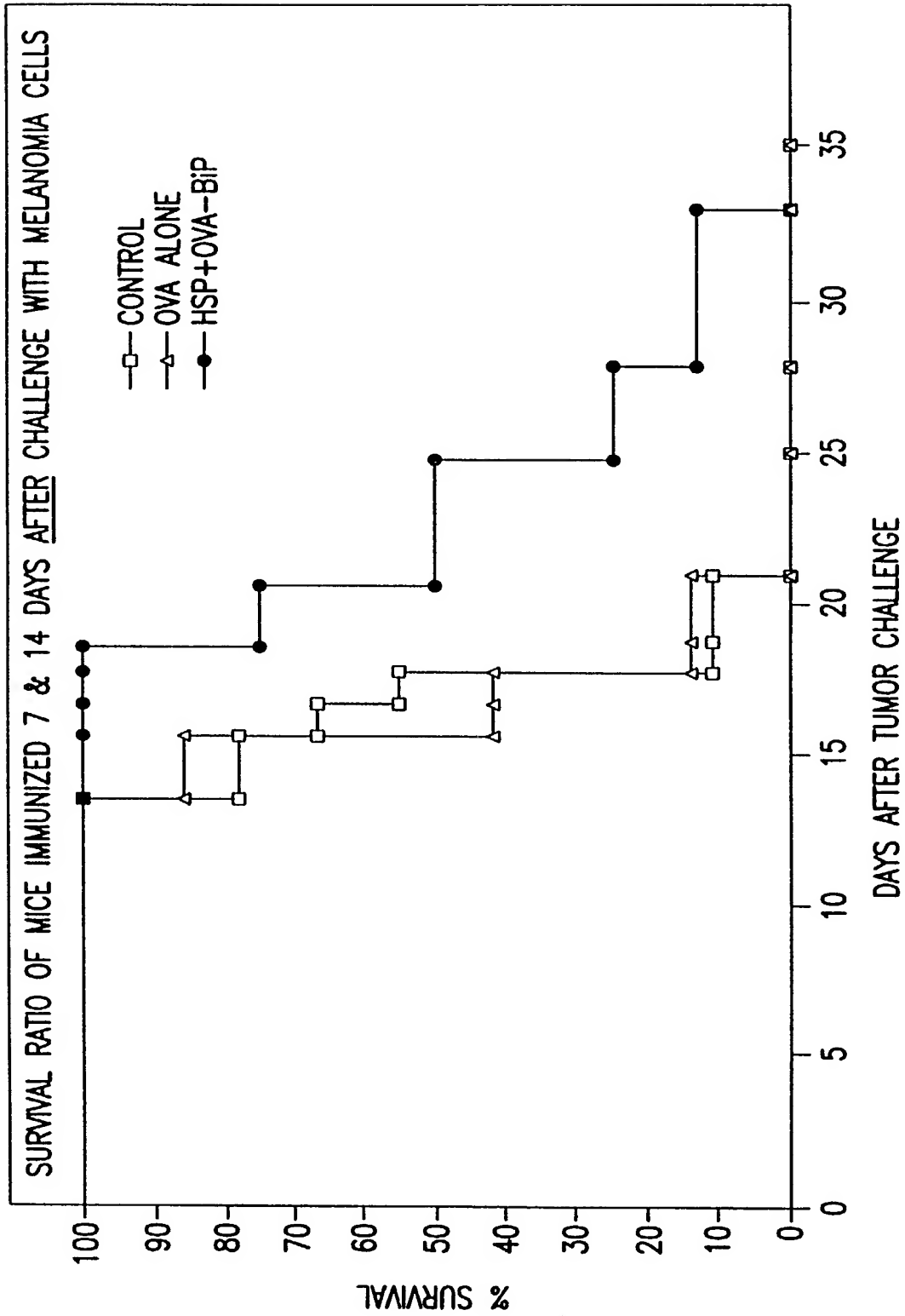


FIG. 19G